to NEWS 9.

=> s l3
L4 44 L3

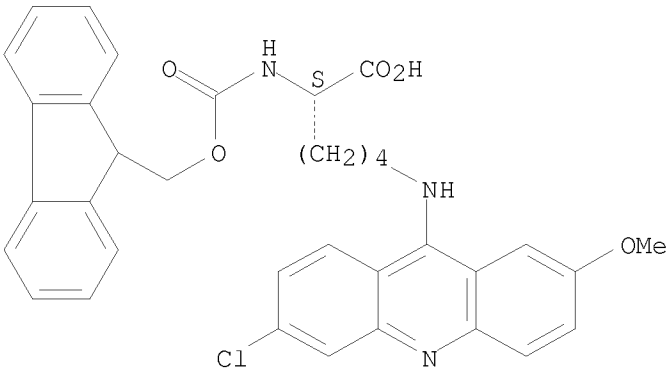
=> d abs fbib fhitstr 20-44

L4 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB Peptides with anthraquinone, anthracene, acridine, and other group-substituted α-amino acid residue are claimed as telomerase inhibitors for treatment of telomerase over expression-related diseases, including cancer and skin diseases. Tetrakis-acridinyl peptide was prepared, and its effect on telomerase DNA was tested.
AN 2003:582461 CAPLUS
DN 139:128002
TI Peptides with substituted α-amino acid residue as telomerase inhibitors
IN Kamiyama, Hiroyuki; Takenaka, Shigeo; Takagi, Makoto
PA Sangaku Renkei Kiko Kyushu K. K., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

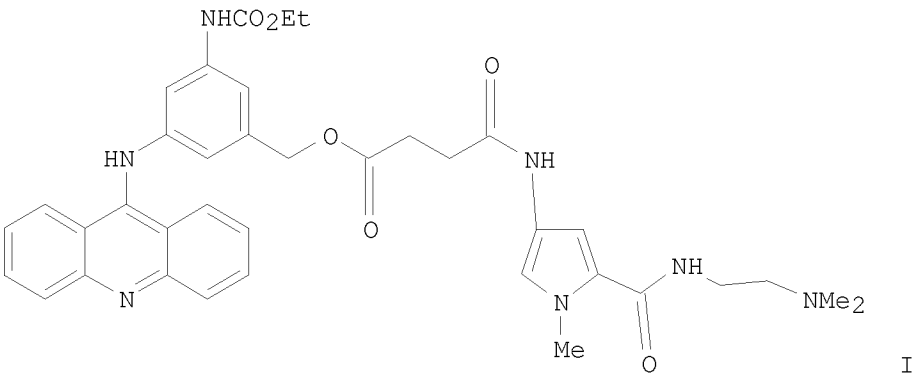
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003212894	A	20030730	JP 2002-15209	20020124
JP 4182196	B2	20081119		
			JP 2002-15209	20020124

OS MARPAT 139:128002
IT 566189-92-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptides with substituted α-amino acid residue as telomerase inhibitors)
RN 566189-92-2 CAPLUS
CN L-Lysine, N6-(6-chloro-2-methoxy-9-acridinyl)-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

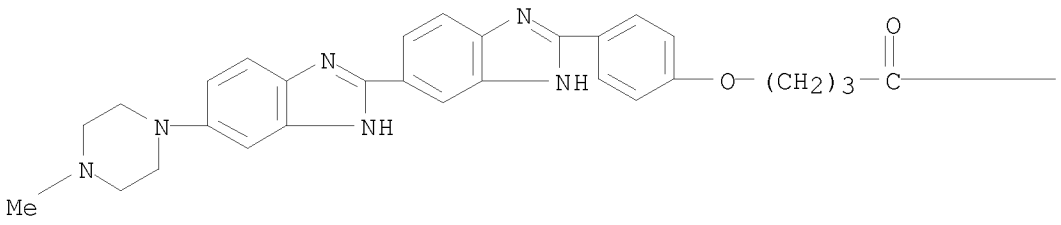
AB DNA minor groove binder hybrid mols., netropsin derivs. such as N-[2-(dimethylamino)ethyl]-1-methyl-4-aminopyrrolo-2-carboxamide (MePy) or its derivs. containing two units of N-methylpyrrolecarboxamide (diMePy) and bisbenzimidazole (Ho33258), were linked to the NH2 function of AHMA or to the CH2OH group of AHMA-ethylcarbamate to form AHMA-N-netropsins, AHMA-ethylcarbamate-O-netropsins, and AHMA-bisbenzimidazole (AHMA-Ho33258) resp. These conjugates' in vitro antitumor activity, and inhibition of a variety of human tumor cell growth, revealed that AHMA-ethylcarbamate-O-netropsin derivs. were more cytotoxic than AHMA-N-netropsin compds. In the same studies, all compds. bearing MePy were more potent than those compds. linked with diMePy. Moreover, AHMA-netropsin derivs. bearing a succinyl chain as the linking spacer were more potent than those compds. having a glutaryl bridge. Among these hybrid mols., AHMA-ethylcarbamate-O-succinyl-MePy (I) was 2- to 6-fold more cytotoxic than the parent compound AHMA in various cell lines, whereas the AHMA-bisbenzimidazole (AHMA-Ho33258) had very poor solubility and was inactive. Studies on the inhibitory effect against topoisomerase II (Topo II) and DNA interaction of these conjugates showed no correlation between the potency of DNA binding and inhibitory activity against Topo II.
AN 2002:627989 CAPLUS
DN 137:294798
TI Antitumor AHMA Linked to DNA Minor Groove Binding Agents: Synthesis and Biological Evaluation
AU Rastogi, Kamesh; Chang, Jang-Yang; Pan, Wen-Yu; Chen, Ching-Huang; Chou, Ting-Chao; Chen, Li-Tzong; Su, Tsann-Long
CS Institute of Biomedical Sciences, Laboratory of Bioorganic Chemistry, Academia Sinica, Taipei, 115, Taiwan
SO Journal of Medicinal Chemistry (2002), 45(20), 4485-4493
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 137:294798

IT 470481-05-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of DNA groove binding acrydinylaminohydroxymethylaniline netropsin derivs. from 3-(9-acrydinylamino)-5-hydroxymethylanilines and their antitumor activity and their DNA topoisomerase II inhibitory activity)

RN 470481-05-1 CAPLUS

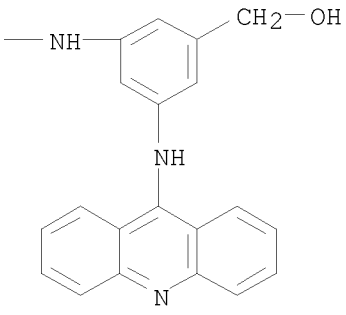
CN Butanamide, N-[3-(9-acridinylamino)-5-(hydroxymethyl)phenyl]-4-[4-[5-(4-methyl-1-piperazinyl)[2,5'-bi-1H-benzimidazol]-2'-yl]phenoxy]-, conjugate triacid (9CI) (CA INDEX NAME)

PAGE 1-A



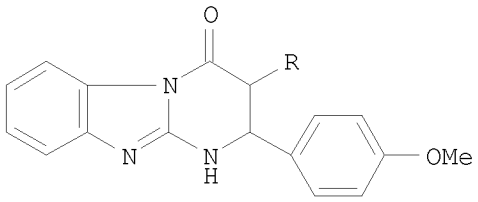
●3 H+

PAGE 1-B

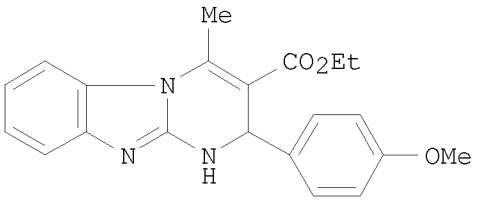


OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

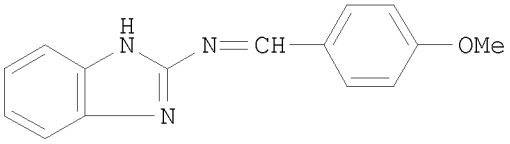
L4 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

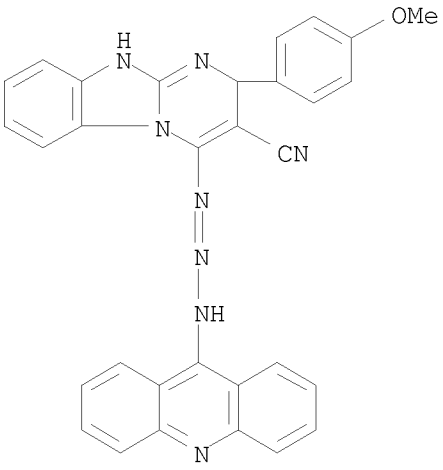


II



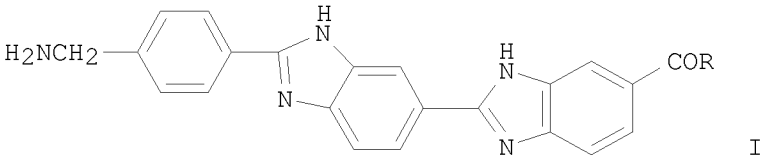
III

AB New pyrimido[1,2-a]benzimidazole derivs., e.g., I (R = COOEt, Cl, CN) and II, were prepared via reaction of 2-aminobenzimidazole Schiff base III with active methylene compds. Tetra- and hexacyclic heterocycles were also prepared from III.
AN 2001:880031 CAPLUS
DN 136:279415
TI Polynuclear heterocyclic compounds from 2-aminobenzimidazole
AU Bassyouni, F. A.; Ismail, I. Imam
CS National Research Centre, Cairo, Egypt
SO Afinidad (2001), 58(495), 375-379
CODEN: AFINAE; ISSN: 0001-9704
PB Asociacion de Quimicos del Instituto Quimico de Sarria
DT Journal
LA English
OS CASREACT 136:279415
IT 405914-43-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polynuclear heterocyclic compds. from 2-aminobenzimidazole)
RN 405914-43-4 CAPLUS
CN Pyrimido[1,2-a]benzimidazole-3-carbonitrile, 4-[3-(9-acridinyl)-2-triazen-1-yl]-2,10-dihydro-2-(4-methoxyphenyl)- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



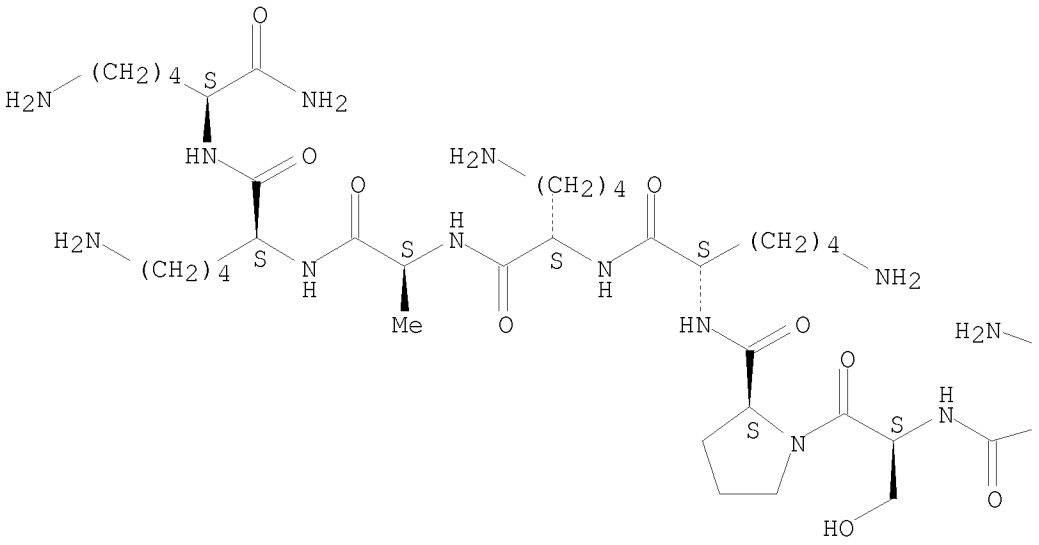
I

AB The synthesis of a new versatile Boc-protected amino acid I (R = NHCH2CH2CH2NMe2), an analog of Hoechst 33258 that can be conjugated with peptides, is described. It was demonstrated that I is an effective mimic of Hoechst 33258 in terms of DNA affinity and sequence specificity. Furthermore, I was conjugated to a DNA-condensing peptide (KSPKKAKK) by solid-phase synthesis to give peptide conjugate I [R = NH(CH2CH2O)2CH2CO-Lys-Ser-Pro-Lys-Lys-Ala-Lys-Lys-NH2], and this conjugate exhibited increased DNA affinity (ca. 10-fold) but similar sequence preference compared to Hoechst 33258 as analyzed by DNaseI footprinting. Finally, the fluorescence quantum yield of the new chromophore is found to increase 30% upon binding to double stranded DNA.
AN 2001:795545 CAPLUS
DN 136:86052
TI Synthesis of a Hoechst 32258 Analogue Amino Acid Building Block for Direct Incorporation of a Fluorescent, High-Affinity DNA Binding Motif into Peptides
AU Behrens, Carsten; Harrit, Niels; Nielsen, Peter E.
CS Department of Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.
SO Bioconjugate Chemistry (2001), 12(6), 1021-1027
CODEN: BCCHES; ISSN: 1043-1802

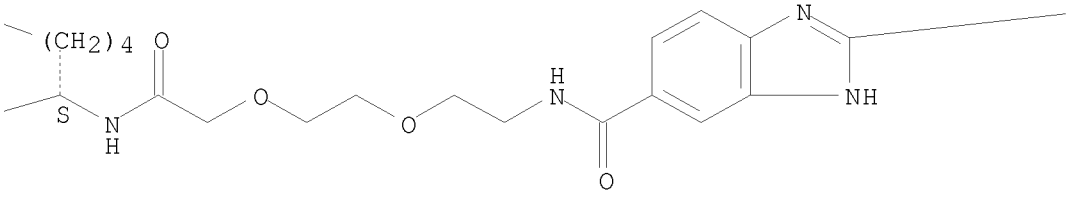
PB American Chemical Society
DT Journal
LA English
OS CASREACT 136:86052
IT 387872-45-9P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of a Boc-protected amino acid analog of Hoechst 32258, its fluorescent and DNA-binding activities and its incorporation into DNA-binding peptides)
RN 387872-45-9 CAPLUS
CN L-Lysinamide, N2-[[2-[2-[[[2'-[4-[23-(9-acridinylamino)-3,9,18-trioxo-11,14-dioxa-2,5,8,17-tetraazatricos-1-yl]phenyl][2,5'-bi-1H-benzimidazol]-5-yl]carbonyl]amino]ethoxy]ethoxy]acetyl]-L-lysyl-L-seryl-L-prolyl-L-lysyl-L-lysyl-L-alanyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

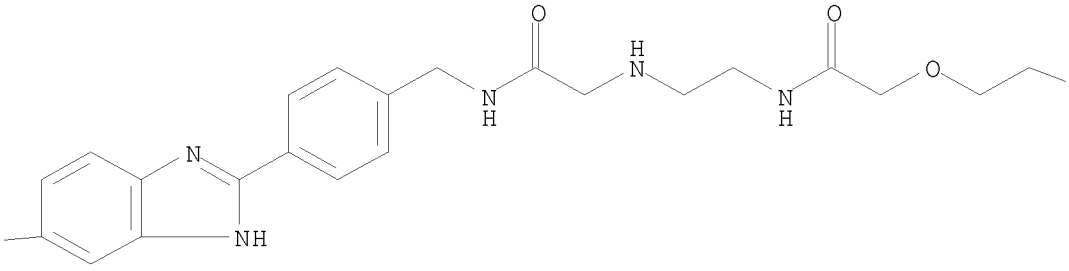
PAGE 1-A



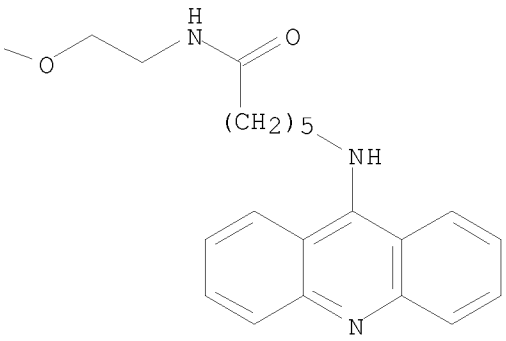
PAGE 1-B



PAGE 1-C



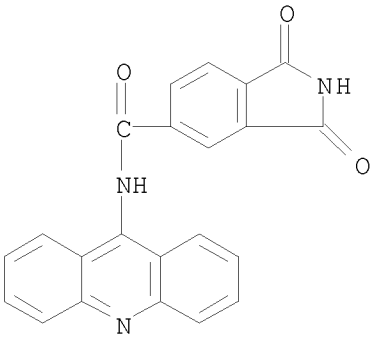
PAGE 1-D



OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

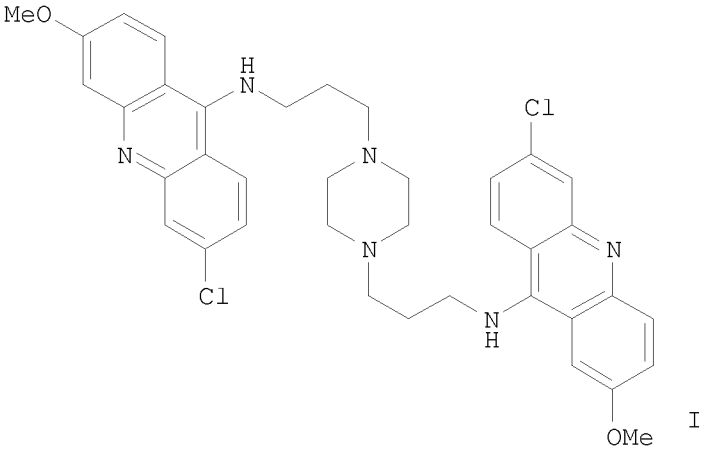
L4 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB Parasitic protozoa lack the ability to synthesize purine nucleotides de novo, relying instead on purine salvage enzymes for their survival. Guanine phosphoribosyltransferase (GPRT) from the protozoan parasite Giardia lamblia is a potential target for rational antiparasitic drug design, based on the exptl. evidence, which indicates the lack of interconversion between adenine and guanine nucleotide pools. The present study is a continuation of our efforts to use three-dimensional structures of parasitic phosphoribosyltransferases (PRTs) to design novel antiparasitic agents. Two micromolar phthalimide-based GPRT inhibitors were identified by screening the inhouse phthalimide library. A combination of structure-based scaffold selection using virtual library screening across the PRT gene family and solid phase library synthesis led to identification of smaller (mol. weight, <300) ligands with moderate to low specificity for GPRT; the best inhibitors, GP3 and GP5, had Ki values in the 23 to 25 μM range. These results represent significant progress toward the goal of designing potent inhibitors of purine salvage in Giardia parasites. As a second step in this process, altering the phthalimide moiety to optimize interactions in the guanine-binding pocket of GPRT is expected to lead to compds. with promising activity against G. lamblia PRT.
AN 2001:623550 CAPLUS
DN 135:340749
TI Virtual screening of combinatorial libraries across a gene family: in search of inhibitors of Giardia lamblia guanine phosphoribosyltransferase
AU Aronov, Alex M.; Munagala, Narsimha R.; Kuntz, Irwin D.; Wang, Ching C.
CS Department of Pharmaceutical Chemistry, University of California, San Francisco, CA, 94143-0446, USA
SO Antimicrobial Agents and Chemotherapy (2001), 45(9), 2571-2576
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal

LA English
IT 371157-56-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(virtual screening of combinatorial libraries in search of inhibitors of Giardia lamblia guanine phosphoribosyltransferase)
RN 371157-56-1 CAPLUS
CN 1H-Isoindole-5-carboxamide, N-9-acridinyl-2,3-dihydro-1,3-dioxo- (CA INDEX NAME)



OSC.G 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



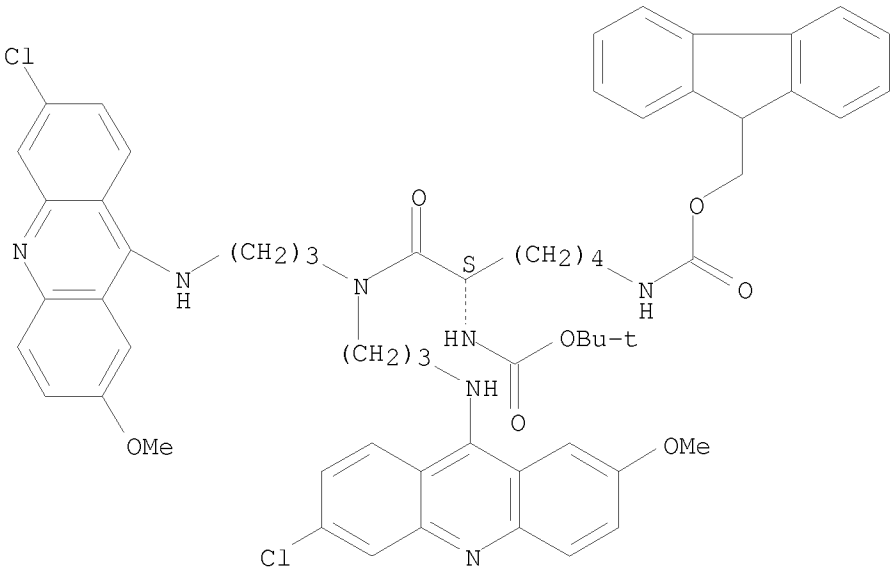
I

AB Forty bis(9-amino-6-chloro-2-methoxyacridines) such as I, in which acridine moieties are joined by alkanediamines, polyamines, or polyamines

substituted by a side chain, were synthesized and tested for their in vitro activity upon the erythrocytic stage of Plasmodium falciparum, trypomastigote stage of Trypanosoma brucei, and amastigote stage of Trypanosoma cruzi and Leishmania infantum as well as for their cytotoxic effects upon MRC-5 cells. Results clearly showed the importance of the nature of the linker and of its side chain for antiparasitic activity, cytotoxicity, and cellular localization. Among several compds. devoid of cytotoxic effects at 25 μM upon MRC-5 cells, one displayed IC50 values ranging from 8 to 18 nM against different P. falciparum strains while three others totally inhibited T. brucei at 1.56 μM.

AN 2000:403242 CAPLUS
DN 133:217339
TI Antimalarial, Antitrypanosomal, and Antileishmanial Activities and Cytotoxicity of Bis(9-amino-6-chloro-2-methoxyacridines): Influence of the Linker
AU Girault, Sophie; Grellier, Philippe; Berecibar, Amaya; Maes, Louis; Mouray, Elisabeth; Lemiere, Pascal; Debreu, Marie-Ange; Davioud-Charvet, Elisabeth; Sergheraert, Christian
CS Institut de Biologie et Institut Pasteur de Lille, Universite de Lille II, Lille, 59021, Fr.
SO Journal of Medicinal Chemistry (2000), 43(14), 2646-2654
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
IT 291754-89-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bis(aminochloromethoxyacridines) with polyamine linkers as antimalarial, antileishmanial, and antitrypanosomal activity)
RN 291754-89-7 CAPLUS
CN Carbamic acid, [(1S)-1-[[bis[3-[(6-chloro-2-methoxy-9-acridinyl)amino]propyl]amino]carbonyl]-5-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

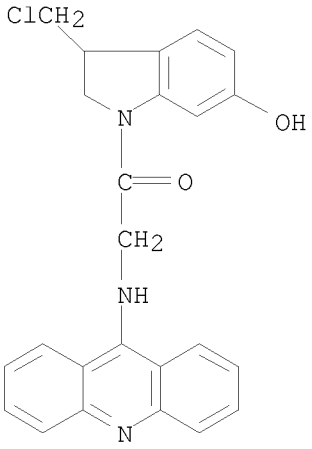
Absolute stereochemistry.



OSC.G 44 THERE ARE 44 CAPLUS RECORDS THAT CITE THIS RECORD (44 CITINGS)
RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB We report the first synthesis of examples of the seco-CI DNA alkylating moiety 3-(chloromethyl)-6-hydroxyindoline linked to a 9-aminoacridine DNA-intercalating units. The sequence-specificity of DNA alkylation by these compds. was studied by the gel electrophoresis cleavage assay. In contrast to the known trimethoxyindole-linked compound, which alkylates exclusively at N3 of adenines in the minor groove, the acridine-linked analogs alkylate predominantly at the N7 of guanines in the major groove (the first CI analogs reported to do so), although DNase I footprinting expts. show that the initial non-covalent binding of the acridine-linked analogs is not base sequence selective. DNA unwinding expts. show that the acridine moiety of the acridine-linked analogs remains intercalated after alkylation.
AN 1997:403816 CAPLUS
DN 127:130541
OREF 127:25021a,25024a
TI Synthesis, DNA binding and cytotoxicity of 1-[[ω-(9-acridinyl)amino]alkyl]carbonyl-3-(chloromethyl)-6-hydroxyindolines, a new class of DNA-target alkylating agents
AU Fan, Jun-Yao; Tercel, Moana; Denny, William A.
CS Cancer Society Research Laboratory, Faculty of Medicine and Health Sciences, The University of Auckland, Auckland, N. Z.
SO Anti-Cancer Drug Design (1997), 12(4), 277-293
CODEN: ACDDEA; ISSN: 0266-9536
PB Oxford University Press
DT Journal
LA English
IT 193078-52-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(DNA binding, cytotoxicity, and synthesis of 1-[[ω-(9-acridinyl)amino]alkyl]carbonyl-3-(chloromethyl)-6-hydroxyindolines)
RN 193078-52-3 CAPLUS
CN Ethanone, 2-(9-acridinylamino)-1-[3-(chloromethyl)-2,3-dihydro-6-hydroxy-1H-indol-1-yl]- (CA INDEX NAME)



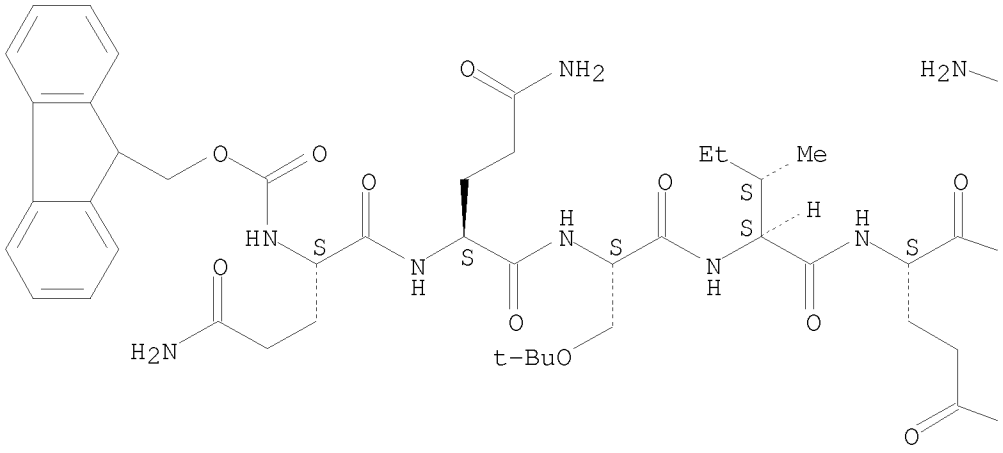
OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

L4 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB A peptide-intercalator conjugate was synthesized by connecting 6-chloro-2-methoxyacridine (Acr) with a Gln-Gln-Ser-Ile-Glu-Gln-Leu-Glu-Asn (9mer) sequence representing the DNA recognizing region of 434 phage repressor protein. This conjugate, H-9mer-NH(CH2)3NH-9-Acr, binds to DNA in spite of its anionic character with the aid of the intercalator.
AN 1997:81531 CAPLUS
DN 126:171885
OREF 126:33225a,33228a
TI Synthesis of a 9-acridinyl nonapeptide containing the DNA recognizing region of 434 phage repressor protein
AU Takenaka, Shigeori; Iwamasa, Kenji; Takagi, Makoto; Nishino, Norikazu; Mihara, Hisakazu; Fujimoto, Tutomu
CS Dep. Biochem. Eng. Sci., Kyushu Inst. Technol., Iizuka, 820, Japan
SO Journal of Heterocyclic Chemistry (1996), 33(6), 2043-2046
CODEN: JHTCAD; ISSN: 0022-152X
PB HeteroCorporation
DT Journal
LA English
IT 187330-42-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of acridinyl nonapeptide containing DNA recognizing region of 434 phage repressor protein)
RN 187330-42-3 CAPLUS
CN L-Aspartamide, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-glutaminyl-L-

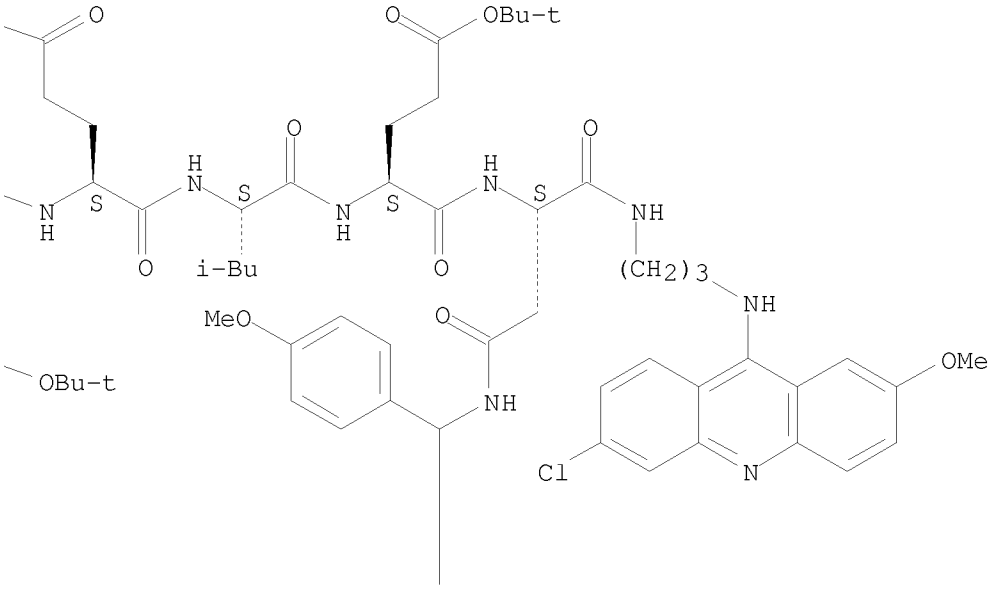
glutaminyl-O-(1,1-dimethylethyl)-L-seryl-L-isoleucyl-L-α-glutamyl-L-glutaminyl-L-leucyl-L-α-glutamyl-N4-[bis(4-methoxyphenyl)methyl]-N1-[3-[(6-chloro-2-methoxy-9-acridinyl)amino]propyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

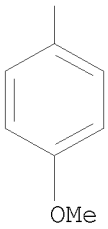
PAGE 1-A



PAGE 1-B



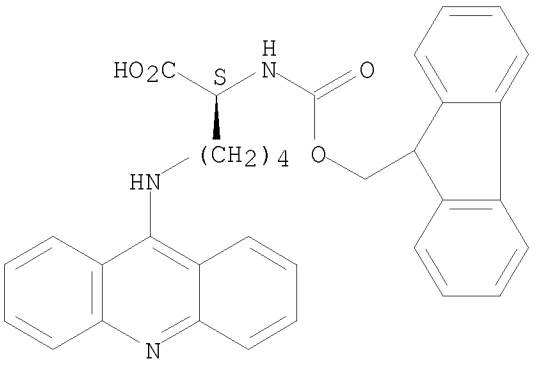
PAGE 2-B



OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB 9-Aminoacridine-EDTA conjugates were prepared as DNA footprinting reagents in conjunction with ferrous ion. The complexes were found to have no significant DNA cutting preferences and are more effective than free EDTA. The application was demonstrated with the footprinting of the lac repressor bound specifically to the lac operon.
AN 1995:755731 CAPLUS
DN 123:189517
OREF 123:33497a,33500a
TI 9-Aminoacridine-EDTA conjugates as hydroxy radical footprinting reagents with no intrinsic cutting specificity
AU Chiu, Francis C. K.; Brownlee, Robert T. C.; Mitchell, Kirsten; Phillips, Don R.
CS Dept. Chem., La Trobe Univ., Victoria, 3083, Australia
SO Bioorganic & Medicinal Chemistry Letters (1995), 5(15), 1689-94
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
OS CASREACT 123:189517
IT 141632-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(9-Aminoacridine-EDTA conjugates as hydroxy radical footprinting reagents with no intrinsic cutting specificity)
RN 141632-03-3 CAPLUS
CN L-Lysine, N6-9-acridinyl-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (CA INDEX NAME)

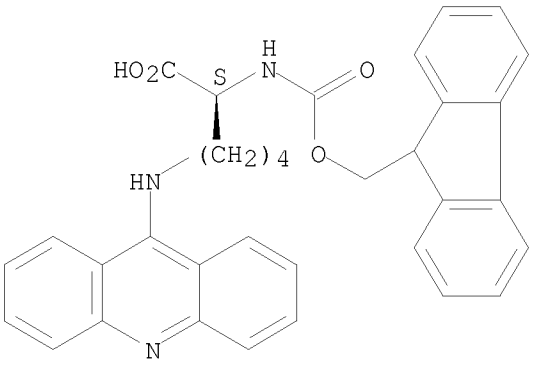
Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB A 1,10-phenanthroline with a 3-carboxamide and a cationic side chain at C4 shows a highly sequence specific DNA cutting activity at 5'-TTAG sites. Conjugation with an 9-aminoacridine produced an addnl. cleavage site at 5'-TTAC. A binding model involving a 1:1:1 phenanthroline-copper-DNA complex is proposed based on the copper chelation chemical of several phenanthroline derivs.
AN 1995:241321 CAPLUS
DN 122:122495
OREF 122:22643a,22646a
TI The unique DNA cutting sequence specificity of a 4-(N,N-dimethyl-2-aminoethyl)amino-1,10-phenanthroline and its 9-aminoacridine conjugate
AU Chiu, Francis C. K.; Brownlee, Robert T. C.; Mitchelle, Kirsten; Phillips, Don R.
CS Sch. Chem., La Trobe Univ., Victoria, 3083, Australia
SO Bioorganic & Medicinal Chemistry Letters (1994), 4(22), 2721-6
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
IT 141632-03-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(the unique DNA cutting sequence specificity of (dimethylaminoethyl)aminophenanthroline and its aminoacridine conjugate)
RN 141632-03-3 CAPLUS
CN L-Lysine, N6-9-acridinyl-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

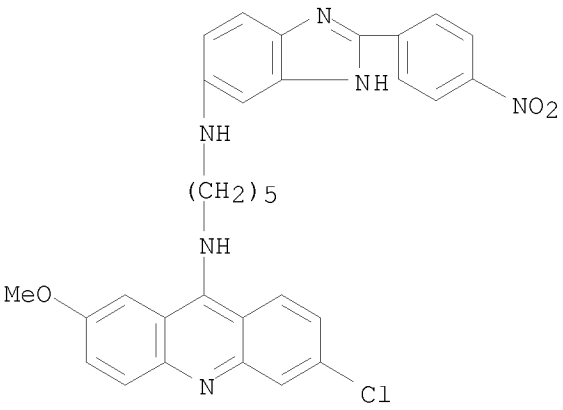


OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI

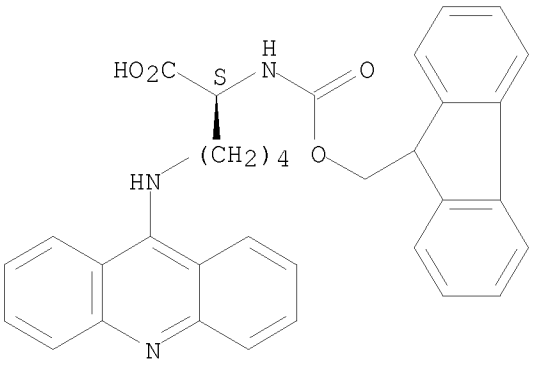
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Nucleophilic substitution reaction of 5-chloro-2-nitroaniline with H2N(CH2)nCO2H (n = 2, 3, 5) in the melt with CaO at 130-140° afforded N-aryl derivs. I in 77-81% yield; Schmidt reaction of I (n = 4, 3) afforded [(aminopentyl)amino]benzene II (n = 5; 71%) and lactam III (100%), resp., whereas I (n = 2) was unreactive. Arylation of 1,2-ethylenediamine with 5-chloro-2-nitroaniline afforded II (n = 2) quant.; regioselective arylation of N-(2-aminoethyl)piperazine with 5-chloro-2-nitroaniline at the secondary N afforded IV. Protection of the aliphatic amino group of II (n = 5, 2) and IV by selective acetylation followed by reduction and condensation with carboximidates afforded benzimidazoles (e.g., V = RH) which upon nucleophilic substitution with, e.g, 2-methoxy-6,9-dichloroacridine afforded bichromophores, e.g., VI.
AN 1995:32141 CAPLUS
DN 122:31405
OREF 122:6199a,6202a
TI Acridine derivatives modified by benzimidazole fragments
AU Sokolova, N. Yu.; Kuznetsov, V. A.; Petrovskaya, O. G.; Garabadzhiu, A. V.; Ginak, A. I.
CS St. Petersburg. Tekhnol. Inst., Russia
SO Zhurnal Organicheskoi Khimii (1993), 29(7), 1456-64
CODEN: ZORKAE; ISSN: 0514-7492
DT Journal
LA Russian
OS CASREACT 122:31405
IT 159581-43-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of bichromophoric acridine-bridge-benzimidazole compds.)
RN 159581-43-8 CAPLUS
CN 1,5-Pentanediamine, N1-(6-chloro-2-methoxy-9-acridinyl)-N5-[2-(4-nitrophenyl)-1H-benzimidazol-6-yl]- (CA INDEX NAME)



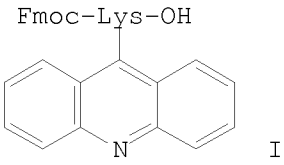
L4 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB The synthesis of Nα-protected Nω-acridin-9-yl derivs. of the α,ω-diamino carboxylic acids ornithine and lysine is reported. Direct introduction of the acridin-9-yl moiety to the amino side chain of the free amino acid was achieved in methanol through temporary copper(II) chelation protection of the α-amino and carboxy groups. Nα-Fmoc protection was introduced by using N-(fluoren-9-ylmethoxycarbonyloxy)succinimide in aqueous dioxane.
AN 1994:192240 CAPLUS
DN 120:192240
OREF 120:34051a,34054a
TI Cupric ion chelation assisted synthesis of Nα-protected Nω-acridin-9-yl α,ω-diamino carboxylic acids
AU Chiu, Francis C. K.; Brownlee, Robert T. C.; Phillips, Don R.
CS Dep. Chem., La Trobe Univ., Bundoora, 3083, Australia
SO Australian Journal of Chemistry (1993), 46(8), 1207-12
CODEN: AJCHAS; ISSN: 0004-9425
DT Journal
LA English
OS CASREACT 120:192240
IT 141632-03-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 141632-03-3 CAPLUS
CN L-Lysine, N6-9-acridinyl-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

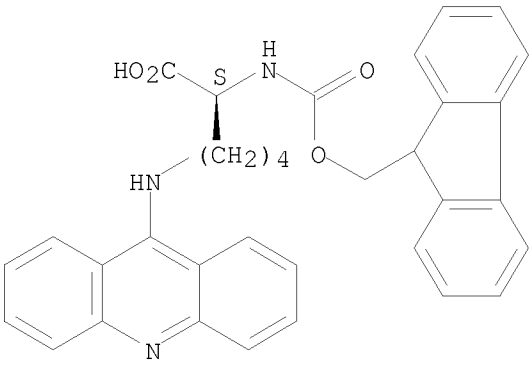
L4 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

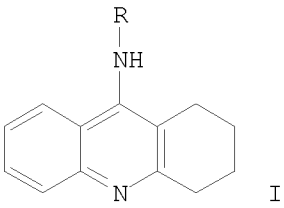
AB Acridine derivative I (Fmoc = 9-fluorenylmethoxycarbonyl) of N-α-Fmoc-lysine has been prepared and used in solid-phase peptide synthesis. The fluorescence properties of the acridine reporter group are retained throughout the peptide synthesis procedure. The utility of the acridine group was demonstrated by its use as an energy acceptor in a fluorescence energy-quenching assay with trypsin.
AN 1992:408434 CAPLUS
DN 117:8434
OREF 117:1711a,1714a
TI An acridine amino acid derivative for use in Fmoc peptide synthesis
AU Tung, Ching Hsuan; Zhu, Tianmin; Lackland, Henry; Stein, Stanley
CS Cent. Adv. Biotechnol. Med., Piscataway, NJ, 08854, USA
SO Peptide Research (1992), 5(2), 115-18
CODEN: PEREEO; ISSN: 1040-5704
DT Journal
LA English
IT 141632-03-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and solid-phase peptide coupling reactions of, fluorescence intensity in relation to)
RN 141632-03-3 CAPLUS
CN L-Lysine, N6-9-acridinyl-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



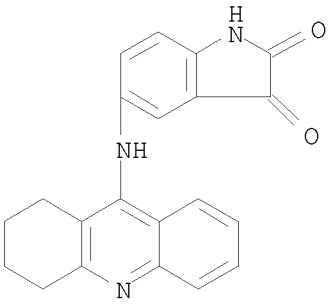
I

AB Medicaments contain derivs. of 5-amino-1,2,3,4-tetrahydroacridine I [R = (substituted) glycosyl, thiocarbamyl, adamantyl, isatinyl, α-ketoglutaryl, H2Z, H; Z = monoacid or diacid in ionic form noncovalently bonded] for treatment of AIDS, degenerative or atrophic diseases, particularly Alzheimer type senile dementia, multiple sclerosis, or Duchenne myopathy. I may be administered orally, parenterally, or i.v. I (R = H) was reacted with ascorbic acid and the product (II) was characterized. II was less toxic than I (R = H) to hepatic cells. Patients infected with human immunodeficiency virus-1 and treated with II showed increased CD4 lymphocyte nos., a decrease in p24 antigen, and regression of opportunistic infections.
AN 1992:51527 CAPLUS
DN 116:51527
OREF 116:8742h,8743a
TI Derivatives of 5-amino-1,2,3,4-tetrahydroacridine and applications as drugs
IN Nguyen Dat Xuong; Rapin, Jean Robert; Pueyo, Jacques
PA Syntheses et Recherches, Fr.
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent

LA French
FAN.CNT 1

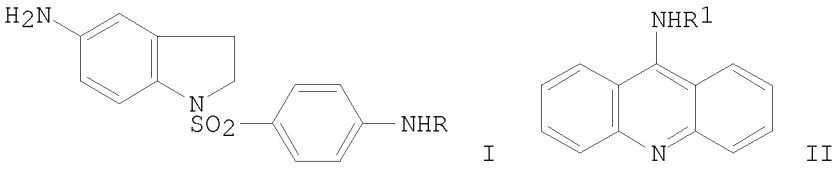
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI WO 9102725	A1	19910307	WO 1990-FR630		19900824
W: CA, JP, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE					
			FR 1989-11283	A	19890825
FR 2651230	A1	19910301	FR 1989-11283		19890825
FR 2651230	B1	19920313			
CA 2064999	A1	19910226	CA 1990-2064999		19900824
			FR 1989-11283	A	19890825
EP 487623	A1	19920603	EP 1990-913286		19900824
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE					
			FR 1989-11283	A	19890825
			WO 1990-FR630	W	19900824
JP 05500055	T	19930114	JP 1990-512400		19900824
			FR 1989-11283	A	19890825
			WO 1990-FR630	W	19900824

OS CASREACT 116:51527; MARPAT 116:51527
IT 138206-34-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and characterization of, for medicaments)
RN 138206-34-5 CAPLUS
CN 1H-Indole-2,3-dione, 5-[(1,2,3,4-tetrahydro-9-acridinyl)amino]- (CA INDEX NAME)

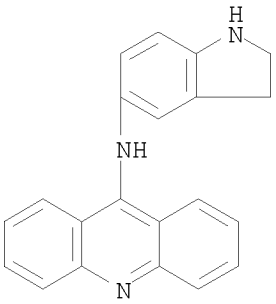


OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI

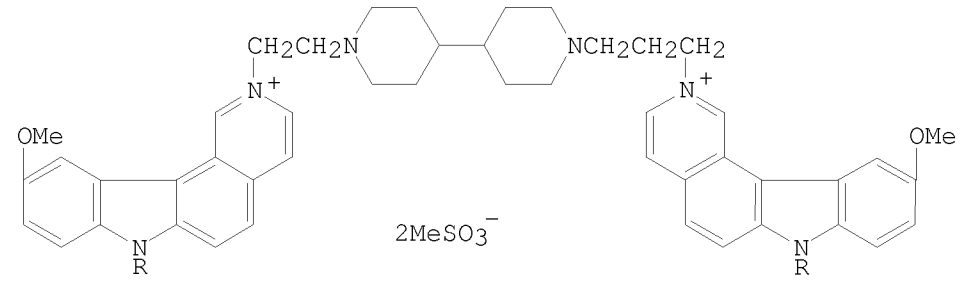


AB The title compds., e.g., I (R = H, CO2Me) and II (R1 = 5-indolyl, 1-acetyl-5-indolinyl) were prepared and tested for anti-tumor activity.
AN 1992:20918 CAPLUS
DN 116:20918
OREF 116:3687a,3690a
TI Synthesis and antitumor properties of some N-sulfanyl-5-aminoindolines and 9-indolinylaminoacridines
AU Chaganava, N. T.; Buyanov, V. N.; Ershova, Yu. A.; Levina, I. I.; Safonova, T. S.; Suvorov, N. N.
CS Mosk. Khim.-Tekhnol. Inst., Moscow, USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1991), 25(8), 41-3
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
OS CASREACT 116:20918
IT 136633-57-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antitumor activity of)
RN 136633-57-3 CAPLUS
CN 9-Acridinamine, N-(2,3-dihydro-1H-indol-5-yl)- (CA INDEX NAME)

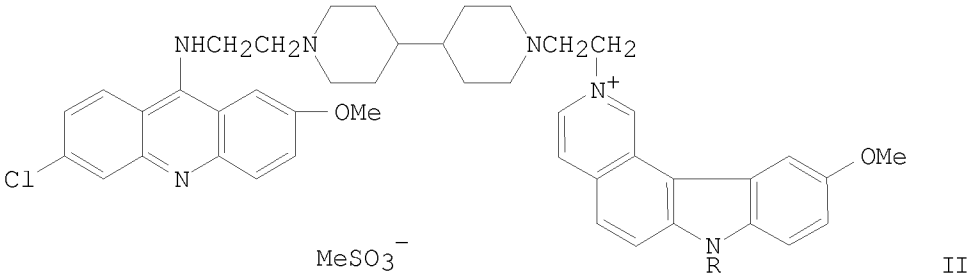


OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I



II

AB Ditercalinium and its analogs are dimeric mols. made up of two identical 7H-pyrido[4,3-c]carbazole rings linked by sym. linking chains. These dimers elicit antitumor properties through a new mechanism of action. The role of symmetry in ditercalinium analogs for their DNA binding, antitumor properties, and bacterial toxicity is investigated by introducing asym. parameters into their structures. Dimers were either synthesized with an asym. rigid linking chain (as in I; R = H, Me) or made up of two chemical different chromophores, i.e., acridine and 7H-pyrido[4,3-c]carbazole (as in II; R = H, Me). The asym. dimers remain able to bisintercalate into DNA with high affinities, but a dramatic loss in their antitumor potency is observed On the other hand, these asym. dimers are cytotoxic for polA E. coli mutants, like their sym. analogs. The symmetry plays a crucial role for the antitumor potency in the 7H-pyrido[4,3-c]carbazole dimers series.

AN 1988:167351 CAPLUS

DN 108:167351

OREF 108:27509a,27512a

TI Asymmetrical bisintercalators as potential antitumor agents

AU Leon, P.; Garbay-Jaureguiberry, C.; Lambert, B.; Le Pecq, J. B.; Roques, B. P.

CS Dep. Chim. Org., UER Sci. Pharm. Biol., Paris, 75006, Fr.

SO Journal of Medicinal Chemistry (1988), 31(5), 1021-6
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 108:167351

IT 113794-21-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and DNA binding, antitumor activity, and cytotoxicity of)

RN 113794-21-1 CAPLUS

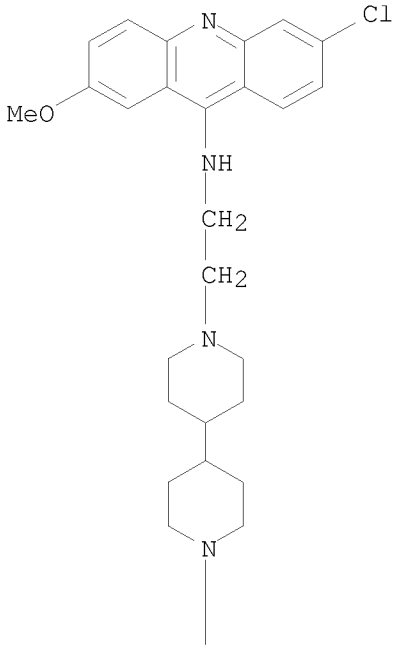
CN 7H-Pyrido[4,3-c]carbazolium, 2-[2-[1'-[2-[(6-chloro-2-methoxy-9-acridinyl)amino]ethyl][4,4'-bipiperidin]-1-yl]ethyl]-10-methoxy-,

chloride, methanesulfonate (1:2:2) (CA INDEX NAME)

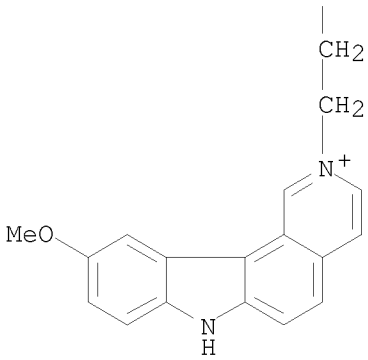
CM 1

CRN 113794-12-0
CMF C44 H48 Cl N6 O2 . Cl

PAGE 1-A



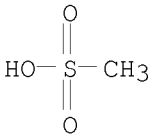
PAGE 2-A



● Cl^-

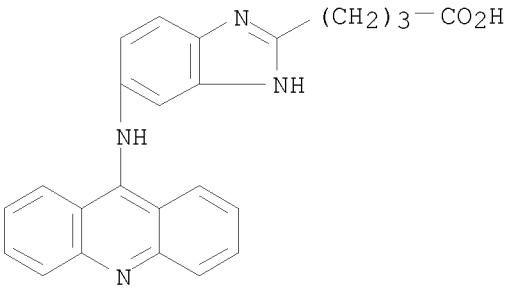
CM 2

CRN 75-75-2
CMF C H4 O3 S



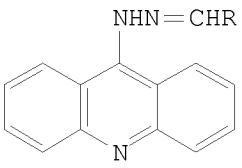
OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L4 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB The computer-automated structure evaluation (CASE) program was applied to the evaluation of antileukemic (L1210) and toxic activities of an extensive series of 9-anilinoacridines. Major mol. fragments relevant to the resp. biol. end-points were automatically generated and incorporated within equations used to estimate the degree of activity. Correlations of these activating/inactivating fragments with the biol. activities are discussed.
AN 1987:628423 CAPLUS
DN 107:228423
OREF 107:36487a,36490a
TI Computer-automated structure evaluation of antileukemic 9-anilinoacridines
AU Klopman, Gilles; Macina, Orest T.
CS Dep. Chem., Case West. Reserve Univ., Cleveland, OH, 44106, USA
SO Molecular Pharmacology (1987), 31(4), 457-76
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English
IT 109989-36-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antileukemic activity of, computer-automated structure evaluation of)
RN 109989-36-8 CAPLUS
CN 1H-Benzimidazole-2-butanoic acid, 6-(9-acridinylamino)- (CA INDEX NAME)

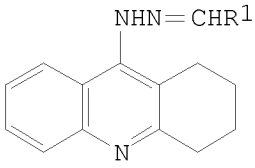


OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



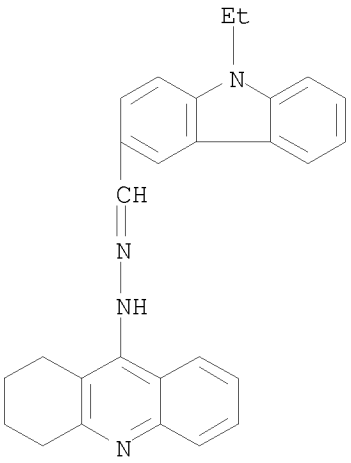
I



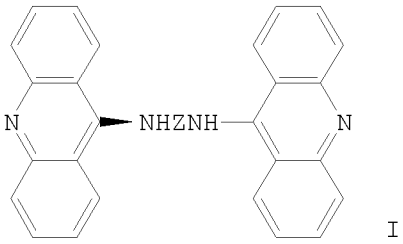
II

AB [(Arylmethylene)hydrazino]acridines I (R = Ph, halo- or methoxyphenyl, methoxynaphthyl, pyridyl) and tetrahydroacridines II [R1 = R, nitro-, (dialkylamino)-, or (methylenedioxy)phenyl, ethylcarbazolyl] were prepared; and II exhibited bactericidal, protozoacidal, and virucidal activity. A mixture of 9-hydrazinoacridine and PhCHO in EtOH was refluxed to give I (R = Ph).

AN 1984:472587 CAPLUS
DN 101:72587
OREF 101:11193a,11196a
TI 9-Hydrazinoacridine and 9-hydrazino-1,2,3,4-tetrahydroacridine derivatives: preparation and biological activity
AU Pellerano, C.; Savini, L.
CS Ist. Chim. Farm. Tossciol., Univ. Siena, Italy
SO Bollettino Chimico Farmaceutico (1983), 122(12), 582-8
CODEN: BCFAAI; ISSN: 0006-6648
DT Journal
LA Italian
IT 91074-34-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bactericidal protozoacidal and virucidal activity of)
RN 91074-34-9 CAPLUS
CN 9H-Carbazole-3-carboxaldehyde, 9-ethyl-, 2-(1,2,3,4-tetrahydro-9-acridinyl)hydrazone (CA INDEX NAME)

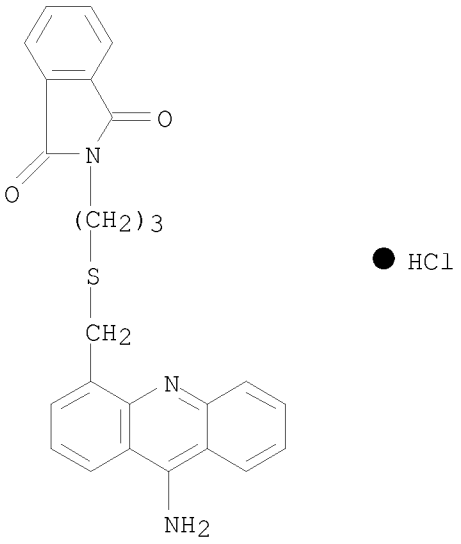


L4 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



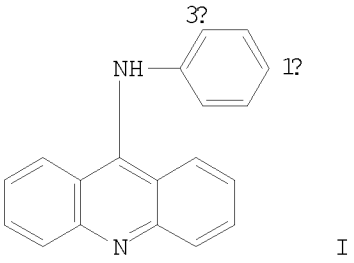
I

AB A number of compds. containing 2 acridine moieties linked through polymethylene chains were prepared E.g., I [Z = (CH2)n (n = 2-6, 8, 10, 12), (CH2)3NH(CH2)3, (CH2)2NH(CH2)2NH(CH2)2] were prepared in 11-64% yield by condensation of 9-chloroacridine with H2NZNH2 (Z as before) in PhOH at .apprx.120° for 2-3 h.
AN 1983:470543 CAPLUS
DN 99:70543
OREF 99:10951a,10954a
TI The synthesis of linked acridines as intercalating and antitumor agents
AU Acheson, R. Morrin; Constable, Edwin C.; Wright, R. Gordon McR.; Taylor, Grahame N.
CS Dep. Biochem., Univ. Oxford, Oxford, OX1 3QU, UK
SO Journal of Chemical Research, Synopses (1983), (1), 2-3
CODEN: JRPSDC; ISSN: 0308-2342
DT Journal
LA English
OS CASREACT 99:70543
IT 85847-46-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 85847-46-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[[(9-amino-4-acridinyl)methyl]thio]propyl]-, hydrochloride (1:1) (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

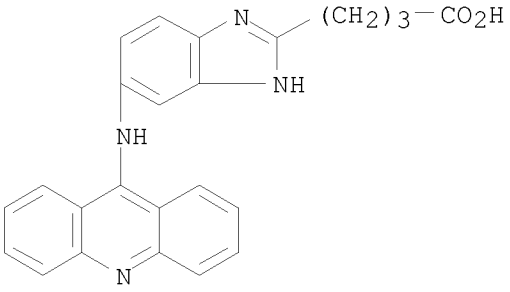
L4 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB Quant. relationships (QSAR) were derived between antileukemic (L1210) activity and agent physicochem. properties for 509 tumor-active members of the general class of 9-anilinoacridines (I). Agent hydrophobicity proved a significant but not a dominant influence on in vivo potency. The electronic properties of substituent groups proved important, but the most significant effects on drug potency were shown by the steric influence of groups placed at various positions on the 9-anilinoacridine skeleton. The results are entirely consistent with the physiol. important step in the action of these compds. being their binding to double-stranded DNA by intercalation of the acridine chromophore between the base pairs and positioning of the anilino group in the minor groove, as previously suggested. An equation was also derived for the acute toxicities of 643 derivs. of 9-anilinoacridine. This equation took a somewhat similar form to the one modeling antileukemia potency, emphasizing the usual fairly close relationship between potency and acute toxicity for antitumor agents in general. This study demonstrated the power of QSAR techniques to structure very large amts. of biol. data and to allow the extraction of useful

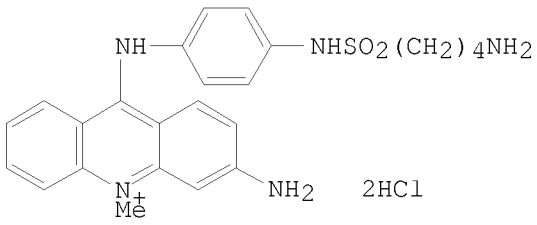
information from them bearing on the possible site of action of the compds. concerned.
AN 1982:79437 CAPLUS
DN 96:79437
OREF 96:12913a,12916a
TI Potential antitumor agents. 36. Quantitative relationships between experimental antitumor activity, toxicity, and structure for the general class of 9-anilinoacridine antitumor agents
AU Denny, William A.; Cain, Bruce F.; Atwell, Graham J.; Hansch, Corwin; Panthananickal, Augustine; Leo, A.
CS Sch. Med., Univ. Auckland, Auckland, N. Z.
SO Journal of Medicinal Chemistry (1982), 25(3), 276-315
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
IT 80259-20-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and neoplasm-inhibiting activity of, QSAR in)
RN 80259-20-7 CAPLUS
CN 1H-Benzimidazole-2-butanoic acid, 6-(9-acridinylamino)-, hydrochloride (1:2) (CA INDEX NAME)



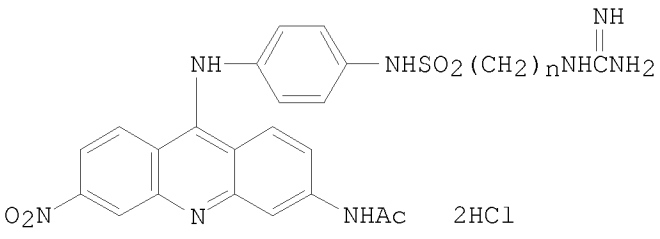
●2 HCl

OSC.G 71 THERE ARE 71 CAPLUS RECORDS THAT CITE THIS RECORD (73 CITINGS)

L4 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I



II, n=2
III, n=3

AB A series of 39 title compds. with a basic function on the end of the alkanesulfonamide chain was prepared by coupling the appropriate 4'-aminosulfonanilide derivative with a 9-chloroacridine derivative and evaluated i.p. in mice against i.p. and s.c. implanted L1210 leukemia cells. Several of the compds. were active, the most potent being I [63345-61-9], II [63388-68-1], and III [63345-62-0], which produced 3-4 50 day survivors out of a group of 6 mice. Structure-activity relations are discussed. Highly active compds. varied from very lipophilic, weakly basic examples to extremely hydrophilic, strongly basic guanidine derivs. However, with extremely hydrophilic highly charged compds., distribution is proscribed, and compds. active against s.c. L1210 are ineffective against intracerebrally inoculated leukemia.

AN 1977:478238 CAPLUS

DN 87:78238

OREF 87:12364h,12365a

TI Potential antitumor agents. 24. Dicationic analogs of the 4'-(9-acridinylamino)alkanesulfonanilides

AU Atwell, Graham J.; Cain, Bruce F.; Denny, William A.

CS Exp. Chemother. Lab., New Zealand Cancer Soc., Auckland, N. Z.

SO Journal of Medicinal Chemistry (1977), 20(9), 1128-34
CODEN: JMCMAR; ISSN: 0022-2623

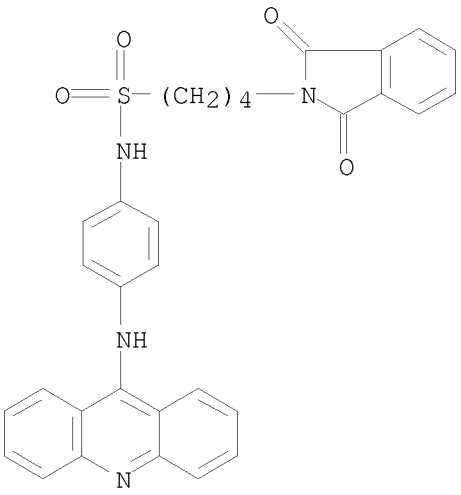
DT Journal

LA English

IT 63345-00-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and neoplasm inhibiting activity of)

RN 63345-00-6 CAPLUS

CN 2H-Isoindole-2-butanesulfonamide, N-[4-(9-acridinylamino)phenyl]-1,3-dihydro-1,3-dioxo-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L4 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Piperazinium cations of structure I were prepared by the reaction of an acridine derivative with a piperazine derivative or by quaternization of one of the piperazine N atoms of an acridine-piperazine derivative These compds. have a high activity against Trypanosoma infection in cattle. A mixture of 85 g. N-2-bromoethylphthalimide, 37 g. 1-methylpiperazine, and 43 g. dry Na2CO3 in 200 ml. C6H6 was refluxed 16 hrs. cooled, filtered, and the filtrate and washings evaporated to dryness to yield 1-methyl-4-(2-phthalimidoethyl)piperazine (II), b0.01 151-3°, m. 104-6° (EtOAc); di-HCl salt m. 270° (decomposition)(aqueous EtOH). A mixture of 35.6 g. II in 150 ml. EtOH was treated with 11.3 g. NH2NH2.H2O and refluxed 2 hrs., cooled, treated with 150 ml. 5N HCl to yield 1-(2-aminoethyl)-4-methylpiperazine (III), b18 136-8°. To a mechanically-stirred mixture of 42.7 g. 9-chloroacridine (IV) and 200 g. PhOH maintained in a bath at 80°, III was added and the temperature raised to 110° for 2 hrs. The mixture was poured into 2 l. 2.5 N NaOH, the precipitated oil was taken up in CHCl3, the extract evaporated to dryness, the residue stirred with 1 l. N AcOH, and again treated with NaOH as above to give 9-[2-(4-methylpiperazin-1-yl)ethylamino]acridine (V), m. 116-18°; tri-HCl salt m. 280° (decomposition)(MeOH). A solution of 4.8 g. V in 72 ml. Me2CO was treated dropwise with 2.13 g. MeI, kept 4 hrs. at room temperature, and the solid collected, dissolved in H2O, and treated with 3.3 g. 57% HI to yield 4-[2-(acridin-9-ylamino)-ethyl]-1,1-dimethylpiperazinium iodide hydriodide (I, n = 2, P = A, R1 = R2 = Me, X = I, HX = HL) m. 296° (decomposition) (H2O). A solution of 13.65 g. II and 8.55 g. PhCH2Br in 136.5 ml. Me2CO was kept 4 hrs. at room temperature to give 1-benzyl-1-methyl-4-(2-phthalimidoethyl)piperazinium bromide (VI), m. 232-4° (EtOH). VI (19.9 g.) was dissolved in 200 ml. boiling EtOH,

treated with 2.3 g. $N_2H_4.H_2O$, refluxed 3 hrs., and acidified with dilute HBr to give 4-(2-aminoethyl)-1-benzyl-1-methylpiperazinium bromide (VII).HBr m. 250° (decomposition) (aqueous MeOH). A suspension of VII.HBr in 45 ml. EtOH was treated with a solution of NaOEt (from 1.4 g. Na in 28 ml. EtOH), warmed, evaporated to dryness, and the residue dissolved in iso-PrOH, filtered, and evaporated to dryness to give VII. A mixture of 1.07 g. IV, 1.57 g. VII, and 5 g. PhOH was heated with stirring 2 hrs. at 110° and poured into Et_2O. The collected product was dissolved in H_2O-MeOH (1:1) and percolated through a column of an ion exchange resin (Amberlite IRA-400 chloride) to yield on elution I (n = 2, P = A, R1= Me, R2= $PhCH_2$, X = Cl, HX = HCl) (Ia), m. 240° (decomposition). A mixture of 1.6 g. V and 1.3 g. 2-phthalimidoethyl bromide (VIII) was heated 2 hrs. at 100° and the product treated with HBr to give 4-[2-(acridin-9-ylamino)ethyl]-1-methyl-1-(2-phthalimidoethyl)piperazinium bromide-HBr (IX), m. 249-51°. A solution of 10 g. IX in 100 ml. 47% HBr was refluxed 16 hrs. to give I (n = 2, P = A, R1 = Me, R2 = $H_2NCH_2CH_2$, X = Br, HX = HBr), m. 230° (decomposition). A solution of 1.9 g. 9-[2-(4-phenylpiperazin-1-yl)ethylamino]acridine and 710 mg. MeI in 65 ml. dry Me_2CO was kept 7 days at room temperature and the resulting product crystallized from H_2O containing 1 equivalent HI to give 1-[2-(acridin-9-ylamino)ethyl]-1-methyl-4-phenylpiperazinium iodide-HI (I, n = 2, P = B, R2 = Ph, R3 = Me, X = I, HX = HI), m. 216-18° (decomposition). A mixture of 50.8 g. VIII and 40 ml. 1,4-dimethylpiperazine (X) was heated 7 hrs. on a steam bath to give 1,4-dimethyl-1-(2-phthalimidoethyl)piperazinium bromide (XI), m. 231-2° (EtOH). This salt was treated with $N_2H_4.H_2O$ in the manner described above to give 1-(2-aminoethyl)-1,4-dimethylpiperazinium bromide (XII).2-HBr, m. 200° (decomposition). Treatment of XII.2 HBr with EtONa in EtOH as described above gave XII. A solution of 1.2 g. XII, 1.1 g. IV, and 5 g. PhOH reacted as described above to yield I (n = 2, P = B, R2 = Me, R3 = Me, X = Br, HX = 2-HBr), m. 268°. Similarly prepared were 4-benzyl-1-methyl-1-(2-phthalimidoethyl)piperazinium bromide, m. 222° (decomposition); 1-(2-aminoethyl)-4-benzyl(methyl)piperazinium bromide-2HBr, m. 188° (decomposition). 9-(2-Chloroethylamino)acridine (XIII), m. 109-10° [HCl salt m. 245° (decomposition)], was prepared by the action of $SOCl_2$ on 9-(2-hydroxyethylamino)acridine (Dupre and Robinson, CA 40, 3368). A solution of 2.56 g. XIII and 1.2 g. X in 25 ml. MeCOEt was refluxed 70 hrs. and worked up as above to yield I (n = 2, P = B,R2 = R3= Me, X = Cl, HX = HCl), m. 272° (decomposition). A mixture of 9.2 g. XI and 30 ml. Me_2SO_4 was warmed 30 min. on the steam bath and worked up to give 1,1,4-trimethyl-4-(2-phthalimidoethyl)piperazinium dichloride, (XIV), m. 275° (decomposition) (aqueous EtOH). XIV (10 g.) was hydrolyzed by boiling with 100 ml. 5N HCl 17 hrs. to give 1-(2-amino)-1,4,4-trimethylpiperazinium dichloride (XV) .HCl, m. 214° (decomposition) (MeOH). XV was condensed with 9-phenoxyacridine in the presence of PhOH as described above to yield I (n = 2, P = C, R1 = R2 = R3 = Me, X = di-Cl, HX = HCl), m. 277° (decomposition). Similarly prepared were 1-benzyl-1,4-dimethyl-4-(2-phthalimidoethyl)piperazinium dichloride, m. 230-2° (decomposition) (trans isomer) and m. 208-10° (decomposition) (cis isomer); 1-(2-aminoethyl)-4-benzyl-1,4-dimethylpiperazinium dichloride-HCl, m. 210° (decomposition) (trans isomer) and m. 160-2° (cis isomer). The following I were similarly prepared by the various procedures described above [given n, P, R1, R2, R3, X, HX, and m.p. (decomposition)]: 3, A, Me, Me,

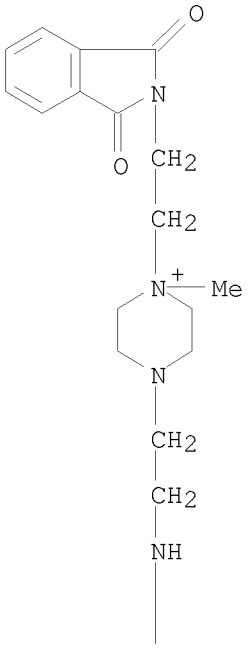
--, I, HI, 273°; 4, A, Me, Me, --, I, HI, 252°; 2, A, Me, Et, --, I, HI, 288°; 2, A, Me, Pr, --, I, HI, 277°; 2, A, Me, Bu, --, I, HI, 267°; 3, A, Me, Pr, --, I, HI, 260°; 3, A, Me, Et, --, I, HI, 275°; 3, A, Me, Bu, --, I, HI, 243°; 3, A, Me, PhCH2, --, Cl, HCl, 210°; 4, A, Me, PhCH2, --, Cl, HCl, 200°; 2, A, Et, PhCH2, --, I, HI, 272°; 2, A, Me, PhCH2, --, I, HI, 249°; 2, A, Et, PhCH2, --, I, HI, 272°; 2, A, Me, PhOCH2CH2, --, Br, HBr, 226-8°; 2, A, Me, PhCH2CH2, --, Br, HBr, 248°; 2, A, Me, p-acetamidophenoxybutyl, --, Br, HBr, (Ib) 235°; 2, A, Me, o-ClC6H4CH2, --, Cl, HCl, 214°; 2, A, Me, p-ClC6H4CH2, --, Cl, HCl, 170° (hydrate); 2, A, Me, m-ClC6H4CH2, --, Br, HBr, 245°; 3, A, Me, PhOCH2CH2, --. Br, di-HBr, 207°; 6, A, Me, PhOCH2-CH2, --, Br, di-HBr, 175°; 3, A, Me, PhCH2CH2, --, Br, HBr, 240°; 4, A, Me, PhCH2CH2, --, Br, HBr, 145-50°; 2, A, Me, PhCH2, --, Br, di-HBr, 277°; 4, A, Me, PhCH2, --, Br, di-HBr, 252-4°; 6, A, Me, PhCH2 --, Br, di-HBr, 265°; 2, A, Me, hexyl, --, Br, HBr, 230°; 2, A, Me, heptyl, --, Br, HBr, 238°; 2, A, Me, PhCH2CH2, --, Br, HBr, 235°; 2, B, --, Ph, PhCH2, Br, HBr, 188-90°; 2, B, --, PhCH2, Me, Br, di-HBr, 196°; 2, B, --, Ph, Me, I, HI, 215-17°; 2, C, PhCH2, Me, Me, di-Cl, HCl, 251° (trans) and 190° (cis); 2, A, Me, p-acetamidophenoxyethyl, --, Br, HBr, 245°; 2, A, Me, p-NH2C6H4OCH2CH2, --, Br, tri-HBr, 205-10°; 2, A, Me, p-MeOC6H4CH2, --, Cl, HCl, 85° (hydrate); 2, A, Me, naphthylmethyl, --, Cl, HCl, 202°; 2, A, Me, 2-thienyl, --, Cl, HCl, 232°; and 6, A, Me, PhCH2, --, Br, HBr, 70° (hydrate). A solution of 15 g. Ib in 100 ml. 2N HCl was refluxed 2 hrs. to yield I (n = 2, P = A, R1 = Me, R2 = p-H2NC6H4O(CH2)4, X = Br, HX = tri-HBr), m. 212° (decomposition). To a solution of 820 mg. Ia in 10 ml. H2O was added a solution of 810 mg. Suramin salt in 10 ml. H2O to give a solid salt, m. 255° (decomposition).

AN 1966:35931 CAPLUS
DN 64:35931
OREF 64:6666h,6667a-h,6668a-d
TI Piperazinium salts
IN Caldwell, Albert G.
PA Wellcome Foundation Ltd.
SO 13 pp.
DT Patent
LA Unavailable
FAN.CNT 1

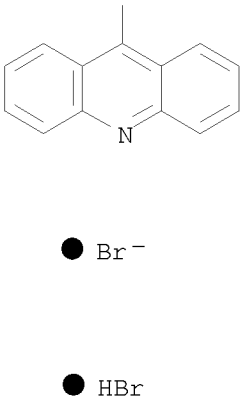
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1013904		19651222	GB 1962-27851	19620719
				GB	19620719

IT 6029-59-0P, Piperazinium, 4-[2-(9-acridinylamino)ethyl]-1-methyl-1-(2-phthalimidoethyl)-, bromide, hydrobromide
RL: PREP (Preparation)
(preparation of)
RN 6029-59-0 CAPLUS
CN Piperazinium, 4-[2-(9-acridinylamino)ethyl]-1-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1-methyl-, bromide, hydrobromide (1:1:1) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



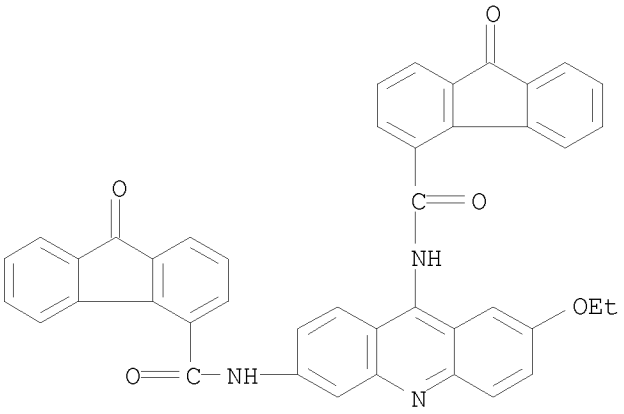
L4 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AB The 9-fluorenone-4-carboxylic acid substituted amides (I) were prepared by mixing 0.05 mole of acid chloride, 0.05 mole amine, and 50 ml. dry xylene, heating to 14,5-50° for 3 hrs., cooling, filtering, drying, washing with aqueous Na2CO3, and crystallizing from xylene, xylene-dioxane, or EtOH-dioxane.

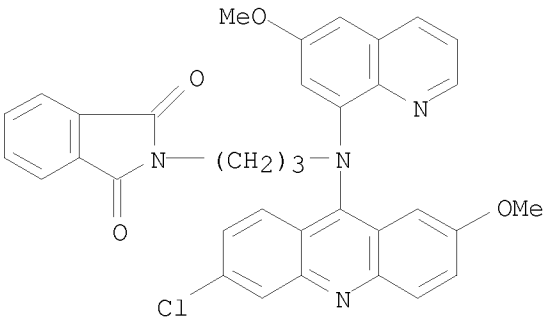
The oximes, hydrazones, semicarbazones, and thiosemicarbazones of I were obtained by dissolving 0.05 mole of I, 0.075 mole of NH2OH-, PhNHNH2NH2CONHNH2-, or NH2CSNHNH2-hydrochloride, and 0.075 mol. NaOH in

HO-EtOH (1:2), keeping at 100° for 3-4 hrs., cooling, filtering, washing, and crystallizing from EtOH or xylene. The insecticidal activity was tested with houseflies and rice weevils, by keeping the flies in contact with insecticide-dusted glass for 24 hrs. and weevils for 5 days. The oximes were most active. For each amine used in preparation of I, the m.p. of I, % of dead flies, m.p. of its oxime, % of dead flies, and % of dead weevils are given: MeNH2:229°, 0, -, -, -; Me2NH: 156°, 10, 192°, 0, -; Et2NH: 91°, 0, 173°, 5, -; PhNH2: 213°, 20, 251°, 50, -; 2-MeC6H4NH2: 201°, 0, 253°, 80, 21; 3-MeC6H4NH2: 147°, 0, 243°, 16, -; 4-MeC6H4NH2: 219°, 10, 270°, 31, 40; 1,3,4-xylidine: 217°, 10, 254°, 0, -; 4-MeOC6H4NH2: 206°, 40, 264°, 60, -; 4-NH2C6H4CO2H: 294°, 60, 312°, 60, -; 4-NH2C6H4COOMe: 211°, 10, 251°, 60, -; 2-NO2C6H4 NH2: 244°, 0, 241°, 50, 31; 3-NO2C6H4NH2: 251°, 10, 254°, 20, 23; 4-NO2C6H4NH2: 244°, 30, 275°, 50, 43; 4-ClC6H4NH2: 245°, 10, 262°, 22, 34; 4-BrC6H4NH2: 250°, 40, -; -, -; 4-IC6H4-NH2: 258°, -, -, -, -, 2-MeOC6H4NH2: 202°, -, 207°, -, -; 1-C10H,NH2: 219°, 40, 273°, 60, -; 2-C10H7NH2: 228°, 0, 260°, 0, -; 4-aminoazobenzene: 266°, 0, -, -, -; piperidine: 134°, 0, 224°, 0, -; 2-aminothiazole: 273°, 10, -, -, -; 2-EtO-6,9-diaminoacridine: 275°, 15, -, -, -.

AN 1963:444565 CAPLUS
DN 59:44565
OREF 59:8068b-e
TI Insecticidal activity of 9-fluorenone-4-carboxylic acid substituted amides and their derivatives
AU Kulev, L. P.; Stepnova, G. M.; Kovalenok, A. V.; Tabinskaya, P. F.
SO Izv. Sibirsk. Otd. Akad. Nauk SSSR (1962), (12), 137-40
DT Journal
LA Unavailable
IT 96870-91-6, Fluorene-4-carboxamide, N,N'-(2-ethoxy-6,9-acridinediyl)bis[9-oxo-
(as insecticide)
RN 96870-91-6 CAPLUS
CN Fluorene-4-carboxamide, N,N'-(2-ethoxy-6,9-acridinediyl)bis-[9-oxo- (7CI) (CA INDEX NAME)

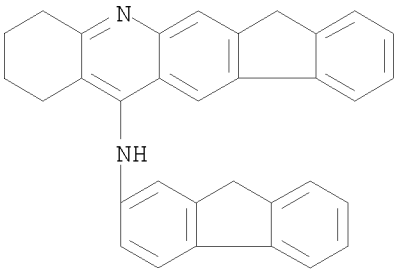


L4 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB cf. C. A. 34, 7911.5. In a further study of R. 63 (R. and Tomlinson, C. A. 29, 795.1) it is shown that 2 of the more probable structures can be excluded. 8-Amino-6-methoxyquinoline (I) (30 g.) and 48 g. of C6H4(CO)2NCH2CH2Br, refluxed in 100 cc. EtOH and treated with C5H5N, give 25-30 g. of 8-(2-phthalimidoethyl)-6-methoxyquinoline, light yellow, m. 152° (HCl salt, m. 248°). PhO(CH2)3NH2 and C6H4(CO)2NCH2CH2CH2Br (II) in dioxane, refluxed 8 h., give phthalo[3-(3-phenoxypropylamino)propylimide]-HBr, m. 184°; heating with HBr (saturated at 0°) at 100° for 2.5 h. gives phthalo[3-(3-bromopropylamino)propylimide]-HBr, m. 195°; with I in dioxane (refluxing 8 h.) this salt yields 8-[3-(3-phthalimidopropylamino)propylamino]-6-methoxyquinoline (III) di-HBr salt m. 222-3°; hydrolysis with N2H4 and reaction with HCl give 8-[3-(3-aminopropylamino)propylamino]-6-methoxyquinoline-3-HCl, deliquescent yellow powder. 2,4-(O2N)2C6H3Cl and C2H6(NH2)2 in EtOH give a mixture of bisdinitrophenylethylenediamine and 2,4-dinitro-N-(2-aminoethyl)aniline-HCl, m. 250° (decomposition), separated by the solubility of the latter in hot 10% HCl; the free base (IV), yellow, m. 54°. IV (8.5 g.), 8 g. PhO(CH2)3Br, 8.5 g. K2CO3 and 100 cc. AcOEt, refluxed 5 h., give 11 g. of 2,4-dinitro-N-[2-(3-phenoxypropylamino)ethyl]aniline-HCl, m. 114°. This line of attack was abandoned in favor of the following. III and II, heated at 120° for 6 h., give 8-[bis(3-phthalimidopropyl)amino]-6-methoxyquinoline, m. 166°; HBr salt, fawn needles; reduction with N2H4 gives 8-[bis(3-aminopropyl)amino]-6-methoxyquinoline-3HCl, light yellow plates. This is a feeble antimalarial. The 5-Cl derivative of I and ClC2H4NEt2.HCl in EtOH give 5-chloro-8-(2-diethylaminoethylamino)-6-methoxyquinoline, light yellow, m. 76°; di-HCl salt, reddish orange, m. 179-81°. 3,9-Dichloro-7-methoxyacridine (V) (C. A. numbering), 8-(3-aminopropylamino)-6-methoxyquinoline and PhOH, heated at 100° for 10 h., give 3-chloro-9-[3-(6-methoxy-8-quinolylamino)propylamino]-7-methoxyacridine, with 3 mols. H2O, m. 114°; di-HCl salt, yellow, m. 223° (decomposition). V and III in PhOH, heated 8 h. at 100°, give 3-chloro-9-[(3-phthalimidopropyl)(6-methoxy-8-quinolyl)amino]-7-methoxyacridine, with 3 mols. H2O, m. 253° (decomposition).
AN 1944:5019 CAPLUS
DN 38:5019
OREF 38:745g-i,746a-c
TI Attempts to find new antimalarials. XVIII
AU Quin, D. C.; Robinson, Robert
SO Journal of the Chemical Society (1943) 555-6
CODEN: JCSOA9; ISSN: 0368-1769
DT Journal
LA Unavailable
IT 861017-93-8P, Phthalimide, N-[3-[(3-chloro-7-methoxy-9-acridyl)(6-methoxy-8-quinolyl)amino]propyl]-
RL: PREP (Preparation)
(preparation of)
RN 861017-93-8 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[(6-chloro-2-methoxy-9-acridinyl)(6-methoxy-8-quinolinyl)amino]propyl]- (CA INDEX NAME)



L4 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Braun and Silbermann (C. A. 24, 2999) obtained bright yellow quinoline derivs. in the synthesis of imide chlorides from chloroacetoanilides with substituents in the o-position to the N. On the suggestion of Braun a study was made of the formation of cyclic N compds. in the synthesis of quinoline derivs. from compds. containing more than 1 cycle, viz., amines of diphenylene oxide (I) and fluorene (II). The second object was to obtain N polycyclic compds. with 5 cycles from I and II in support of Braun's theory (Braun, et al., C. A. 25, 3345) of the possibility of formation of 2 new cycles by the use of pimelyl chloride, COCl(CH2)5COCl (III). Treating 24 g. 2-aminodiphenylene oxide (IV), m. 93-4° (obtained in 57-8% yield by the method of Borsche and Bothe C. A. 2, 2701), cooled with running water, with 7.5 g. ClCH2COCl in Et2O gave 80% 2-chloroacetaminodiphenylene oxide (V), m. 162-4° (alc.). 2-Chloromethyl-3-chloro-4-aminodiphenyleneoxypyridinediphenylene oxide (VI), m. 240-2°, resulted in 75% yield from an equimol, mixture of V and PCl5 on adding a few drops of POCl3 and cooling with tap water. After shaking occasionally for 8 h., the mixture was treated with Me2CO-Et2O and filtered. A mixture of 1167 cc. of 75% alc., 12 g. CaCl2 in 18 cc. H2O and a mixture of 350 g. Zn dust and 2-nitrofluorene, m. 156° (Diels, Ber. 34, 1759(1901)), was digested in a water bath for 2 h. and then filtered hot. After the residue was washed with alc. and the united filtrates were diluted with excess water, 23 g. (81% yield) 2-aminofluorene (VII), m. 128-9°, was precipitated VII (23.5 g.) with 7.5 g. ClCH2COCl in Et2O gave 73.5% 2-chloroacetoaminofluorene, m. 183-5°. This with PCl5 and a little POCl3 gave 65% 2 - chloromethyl - 3 - chloro - 4 - aminofluorenepyridinefluorene (VIII), 238-9°. III, b15 137°, was prepared by the method of Blaise and Kochler (C. A. 4, 301) from pimelic acid, m. 103-5° (Braun, Ber. 37, 3588(1904)). IV (7.5 g.) treated with 2 g. III in Et2O and the filtered precipitate extracted with H2O
gave 100% pimelyldiaminodiphenylene oxide, m. 264-5°. This with 2 mols. PCl5 heated on a water bath and diluted with Me2CO-Et2O gave nearly 100% dibenzofurantetrahydroquinoline-4-aminobenzofuran (IX), m. above 300°. VII (14.5 g.) with 4 g. III in Et2O treated as above and the precipitate freed from the contaminating VII.HCl with H2O resulted in pimelyldiaminofluorene, m. above 300°. This (5 g.) with 4.5 g. PCl5 heated in a water bath for 3 h. and the product decomposed with 20% NaOH gave 65% fluorenetetrahydroquinoline-4-aminofluorene (X).
AN 1937:10420 CAPLUS

DN 31:10420
OREF 31:1407g-i,1408a-h
TI Synthesis of nitrogenous polycyclic compounds
AU Fel'dman, I. Kh.
SO Zhurnal Obshchei Khimii (1936), 6, 1234-42
CODEN: ZOKHA4; ISSN: 0044-460X
DT Journal
LA Unavailable
IT 849426-57-9P, 7-Indeno[1,2-b]acridine,
13-(2-fluorenylamino)-1,2,3,4-tetrahydro-
RL: PREP (Preparation)
(preparation of)
RN 849426-57-9 CAPLUS
CN 1H-Indeno[1,2-b]acridin-13-amine, N-9H-fluoren-2-yl-2,3,4,7-tetrahydro-
(CA INDEX NAME)



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=> d abs fbib fhitstr 1-19
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L4 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AB Alzheimer's disease (AD) is a multifactorial syndrome with several target proteins contributing to its etiol. To confront AD, an innovative strategy is to design single chemical entities able to simultaneously modulate more than one target. Here, we present compds. that inhibit acetylcholinesterase and NMDA receptor activity. Furthermore, these compds. inhibit AChE-induced Aβ aggregation and display antioxidant properties, emerging as lead candidates for treating AD.

AN 2008:822256 CAPLUS
DN 149:259264

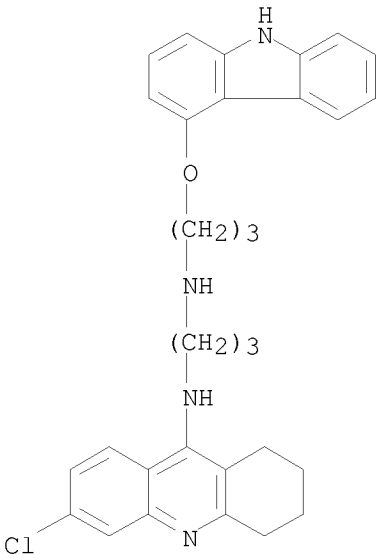
TI Inhibition of Acetylcholinesterase, β-Amyloid Aggregation, and NMDA Receptors in Alzheimer's Disease: A Promising Direction for the Multi-target-Directed Ligands Gold Rush

AU Rosini, Michela; Simoni, Elena; Bartolini, Manuela; Cavalli, Andrea; Ceccarini, Luisa; Pascu, Nicoleta; McClymont, David W.; Tarozzi, Andrea; Bolognesi, Maria L.; Minarini, Anna; Tumiatti, Vincenzo; Andrisano, Vincenza; Mellor, Ian R.; Melchiorre, Carlo
CS Departments of Pharmaceutical Sciences and Pharmacology, University of Bologna, Bologna, I-40126, Italy

SO Journal of Medicinal Chemistry (2008), 51(15), 4381-4384
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 149:259264

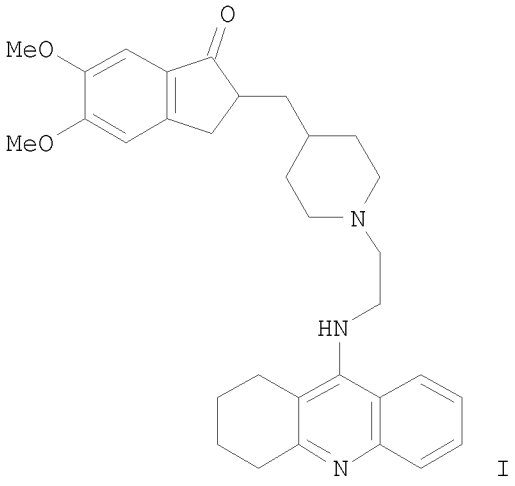
IT 1047632-37-0P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibition of acetylcholinesterase, β-amyloid aggregation, and NMDA receptors in Alzheimer's disease)

RN 1047632-37-0 CAPLUS
CN 1,3-Propanediamine, N1-[3-(9H-carbazol-4-yloxy)propyl]-N3-(6-chloro-1,2,3,4-tetrahydro-9-acridinyl)- (CA INDEX NAME)



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

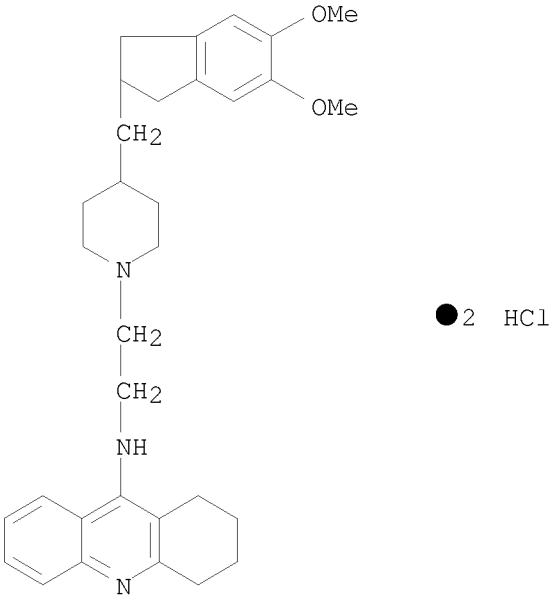
L4 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB A novel series of donepezil-tacrine hybrids, e.g. I, designed to simultaneously interact with the active, peripheral and midgorge binding sites of acetylcholinesterase (AChE) have been synthesized and tested for their ability to inhibit AChE, butyrylcholinesterase (BChE), and AChE-induced Aβ aggregation. These compds. consist of a unit of tacrine or 6-chlorotacrine, which occupies the same position as tacrine at the AChE active site, and the 5,6-dimethoxy-2-[(4-piperidinyl)methyl]-1-indanone moiety of donepezil (or the indane derivative thereof), whose position along the enzyme gorge and the peripheral site can be modulated by a suitable tether that connects tacrine and donepezil fragments. All of the new compds. are highly potent inhibitors of bovine and human AChE and BChE, exhibiting IC50 values in the subnanomolar or low nanomolar range in most cases. Moreover, six out of the eight hybrids of the series, particularly those bearing an indane moiety, exhibit a significant Aβ antiaggregating activity, which makes them promising anti-Alzheimer drug candidates.
AN 2008:665139 CAPLUS
DN 149:104900
TI Novel Donepezil-Based Inhibitors of Acetyl- and Butyrylcholinesterase and Acetylcholinesterase-Induced β-Amyloid Aggregation
AU Camps, Pelayo; Formosa, Xavier; Galdeano, Carles; Gomez, Tania; Munoz-Torrero, Diego; Scarpellini, Michele; Viayna, Elisabet; Badia, Albert; Clos, M. Victoria; Camins, Antoni; Pallas, Merce; Bartolini, Manuela; Mancini, Francesca; Andrisano, Vincenza; Estelrich, Joan; Lizondo, Monica; Bidon-Chanal, Axel; Luque, F. Javier
CS Laboratori de Quimica Farmaceutica (Unitat Associada al CSIC), Facultat de Farmacia and Institut de Biomedicina (IBUB), Universitat de Barcelona, Barcelona, E-08028, Spain

SO Journal of Medicinal Chemistry (2008), 51(12), 3588-3598
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 149:104900
IT 955993-03-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of donepezil-based inhibitors of acetyl- and butyrylcholinesterase and acetylcholinesterase-induced β-amyloid aggregation)
RN 955993-03-0 CAPLUS
CN 9-Acridinamine, N-[2-[4-[(2,3-dihydro-5,6-dimethoxy-1H-inden-2-yl)methyl]-1-piperidinyl]ethyl]-1,2,3,4-tetrahydro-, hydrochloride (1:2) (CA INDEX NAME)

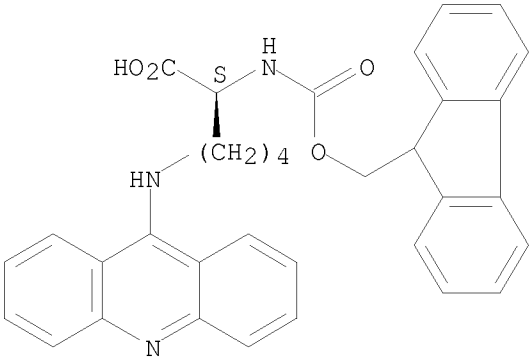


OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB Amphiphilic α-helical peptides that contain acridine moieties were synthesized, and their binding affinities toward hairpin RNA targets were evaluated. The dramatic increase in binding affinities (40-fold for RRE, 170-fold for TAR) demonstrates that conjugation of intercalators that operate by different binding modes (ionic or hydrogen bonding) leads to one of the most tightly binding pharmacophores against RNA targets.
AN 2008:13879 CAPLUS
DN 148:253350
TI Amphiphilic helical peptides containing two acridine moieties display picomolar affinity toward HIV-1 RRE and TAR

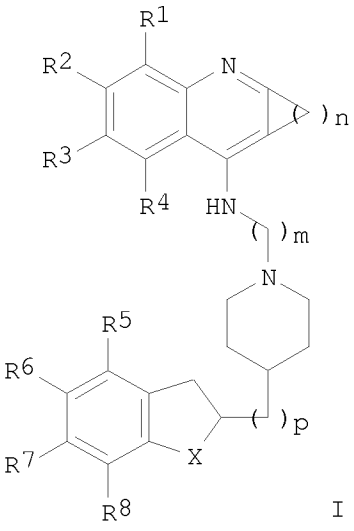
AU Lee, Yeongran; Hyun, Soonsil; Kim, Hyun Jin; Yu, Jaehoon
CS Department of Chemistry & Education, Seoul National University, Seoul, 151-742, S. Korea
SO Angewandte Chemie, International Edition (2008), 47(1), 134-137
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 148:253350
IT 141632-03-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acridine-containing amphiphilic helical peptides and evaluation
of their picomolar affinity toward HIV-1 RRE and TAR)
RN 141632-03-3 CAPLUS
CN L-Lysine, N6-9-acridinyl-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

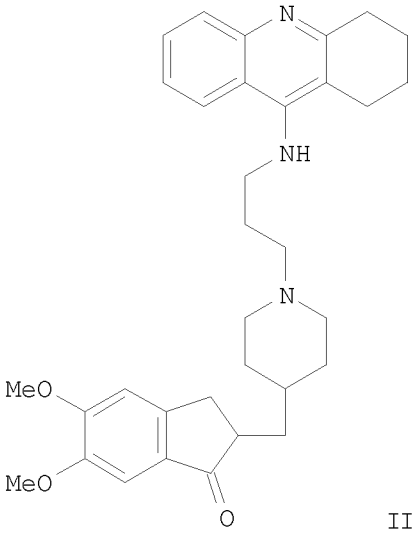


OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I



II

AB Title compds. I and their pharmaceutically acceptable salts or solvates, including stereoisomers or mixts. of stereoisomers, are disclosed. In compds. I, R1, R2, R3 and R4 are selected independently from H, Cl, F, Br, CF3, (C1-4)alkyl, (C1-4)alkoxy, and NO2; R5, R6, R7 and R8 are selected independently from H and (C1-6)alkoxy; n is 3-5; m is 2-5; p is 1-5 and X is a biradical selected from CO and CH2. I behave as acetylcholinesterase (AChE) inhibitors with two bonding sites, and are useful as active agents against Alzheimer's disease. In particular, I also act as inhibitors of both AChE and butyrylcholinesterase (BChE). For instance, invention compound II was prepared in 3 steps. Amination of 9-chloro-1,2,3,4-tetrahydroacridine with 3-amino-1-propanol in refluxing pentanol gave 95% 9-[(3-hydroxypropyl)amino]-1,2,3,4-tetrahydroacridine. This alc. was mesylated by MeSO2Cl and Et3N in CH2Cl2 (100%), and the mesylate was treated with the corresponding piperidine derivative in DMSO in the presence of Et3N at 85° to give 68% II. The free base of II was converted to 60% II.2HCl using methanolic HCl. The IC50 values of II.2HCl for inhibition of cholinesterases in vitro were: bovine AChE 0.29 nM, human AChE 0.88 nM, and human BChE 12.4 nM. In contrast, corresponding IC50 values for known agents were: tacrine HCl (130, 205, 43.9 nM); 6-chlorotacrine HCl (5.73, 8.32, 916 nM); and donepezil HCl (8.12, 11.6, 7273 nM).

AN 2007:1237584 CAPLUS
DN 147:502249

TI Acetylcholinesterase-inhibiting and butyrylcholinesterase-inhibiting tetrahydroacridine derivatives for treating Alzheimer's disease

IN Camps Garcia, Pelayo; Munoz-Torrero Lopez-Ibarra, Diego; Formosa Marquez, Xavier; Scarpellini, Michele
PA Universidad de Barcelona, Spain

SO PCT Int. Appl., 36pp.
CODEN: PIXXD2
DT Patent
LA Spanish
FAN.CNT 1

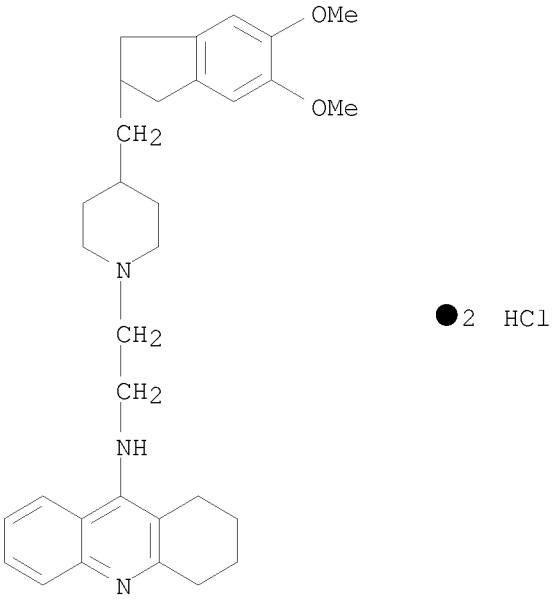
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2007122274	A1	20071101	WO 2007-ES237	20070419
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				ES 2006-1045	A 20060420
	ES 2288406	A1	20080101	ES 2006-1045	20060420
	ES 2288406	B1	20081216		

IT 955993-03-0P, 9-[[2-[4-[(5,6-Dimethoxyindan-2-yl)methyl]piperidin-1-yl]ethyl]amino]-1,2,3,4-tetrahydroacridine dihydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of acetylcholinesterase-inhibiting tetrahydroacridine derivs. for treatment of Alzheimer's disease)

RN 955993-03-0 CAPLUS

CN 9-Acridinamine, N-[2-[4-[(2,3-dihydro-5,6-dimethoxy-1H-inden-2-yl)methyl]-1-piperidinyl]ethyl]-1,2,3,4-tetrahydro-, hydrochloride (1:2) (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AB A series of new 9-substituted acridyl derivs. were synthesized and their in vitro antimalarial activity was evaluated against one chloroquine-sensitive strain (3D7) and three chloroquine-resistant strains [W2 (Indochina), Bre1 (Brazil) and FCR3 (Gambia)] of Plasmodium falciparum. Some compds. inhibit the growth of malarial parasite with IC50 ≤ 0.20 μM.

AN 2007:375476 CAPLUS
DN 147:26868

TI In vitro efficiency of new acridyl derivatives against Plasmodium falciparum

AU Guetzoyan, Lucie; Ramiandrasoa, Florence; Dorizon, Helene; Desprez, Christine; Bridoux, Alexandre; Rogier, Christophe; Pradines, Bruno; Perree-Fauvet, Martine

CS Equipe de Chimie Bioorganique et Bioinorganique, Institut de Chimie Moleculaire et des Materiaux d'Orsay, CNRS UMR 8182, Univ Paris-Sud, Orsay, 91405, Fr.

SO Bioorganic & Medicinal Chemistry (2007), 15(9), 3278-3289
CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 147:26868

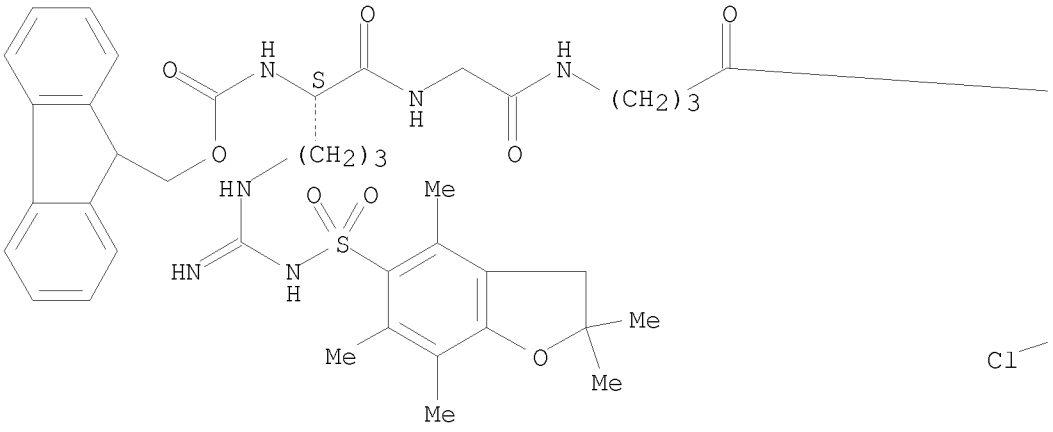
IT 938463-54-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(efficiency of acridyl derivs. against Plasmodium falciparum)

RN 938463-54-8 CAPLUS

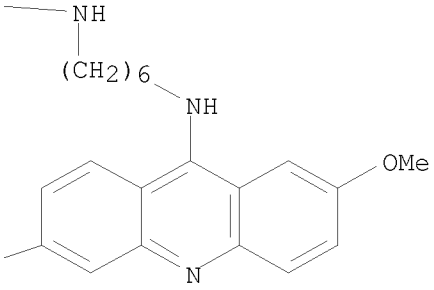
CN Glycinamide, N5-[[[(2,3-dihydro-2,2,4,6,7-pentamethyl-5-benzofuranyl)sulfonyl]amino]iminomethyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-ornithyl-N-[4-[[6-[(6-chloro-2-methoxy-9-acridinyl)amino]hexyl]amino]-4-oxobutyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



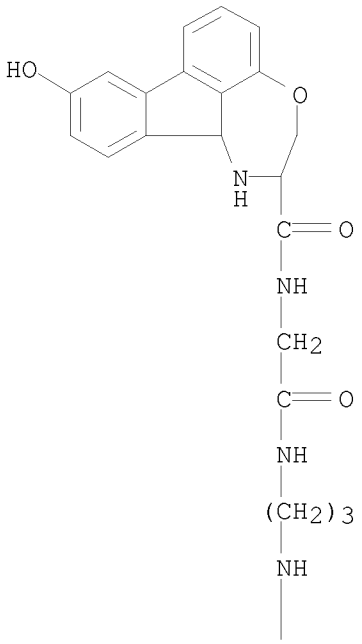
PAGE 1-B



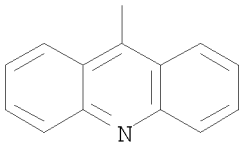
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB The authors report here the synthesis of an N-(1-alkoxyl-9-fluorenyl)serine acridine conjugate, which was achieved by a three-component assembly approach via an intramol. reductive amination process.
AN 2007:334769 CAPLUS
DN 146:522038
TI Convenient synthesis of an N-(1-alkoxyl-9-fluorenyl)serine acridine conjugate
AU Dai, Jifeng; Zhou, Qibing
CS Department of Chemistry, Virginia Commonwealth University, Richmond, VA, USA
SO Synthetic Communications (2007), 37(1), 129-135
CODEN: SYNCAV; ISSN: 0039-7911
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 146:522038
IT 936352-42-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of an N-(alkoxyl-fluorenyl)serine acridine conjugate in multi-steps including Suzuki coupling and reductive amination)
RN 936352-42-0 CAPLUS
CN Fluoreno[9,1-ef][1,4]oxazepine-2-carboxamide, N-[2-[[3-(9-acridinylamino)propyl]amino]-2-oxoethyl]-1,2,3,11b-tetrahydro-9-hydroxy- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



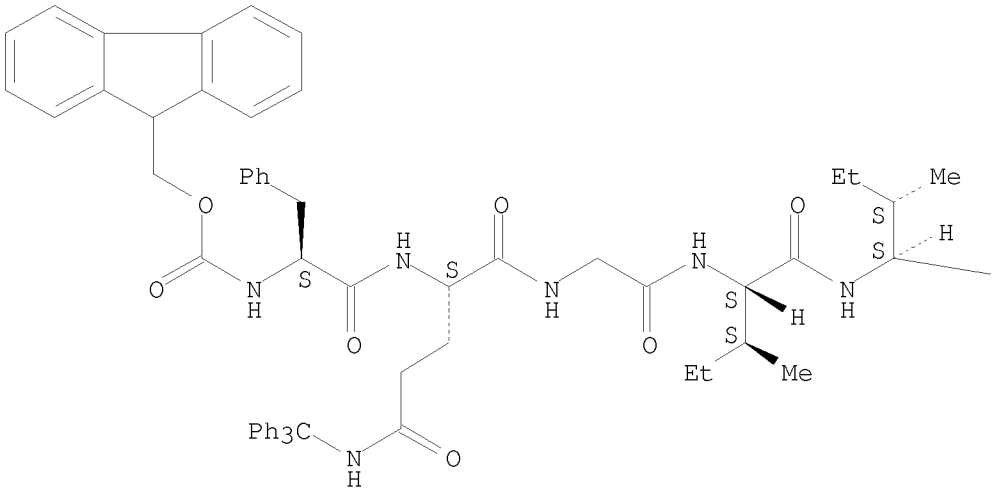
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB New peptides, 9-aminoacridine (NHAcr) conjugates with an ethylene diamine linker, have been synthesized via both solution- and solid-phase methods, and their interactions with DNA have been studied. The affinity of H-Phe-Gln-Gly-(Ile)2-NHCH2CH2NHAcr conjugate and of its extended analog containing 6-aminohexanoic acid to DNA were lower than that of a standard H-Gly-NHCH2CH2NHAcr conjugate. The results fit well into our concept of peptide conjugates with lowered binding activity to DNA, which could be capable of unlimited extravascular distribution. Moreover, new structures could be potentially useful as the mild tuners of DNA interaction with strong bis-acridine binders.
AN 2006:747842 CAPLUS
DN 145:357082
TI New peptide conjugates with 9-aminoacridine: synthesis and binding to DNA
AU Sebestik, Jaroslav; Stibor, Ivan; Hlavacek, Jan

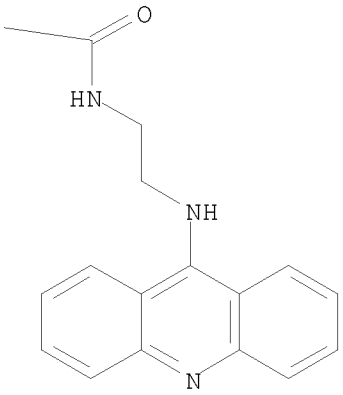
CS Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Prague, 166 10, Czech Rep.
SO Journal of Peptide Science (2006), 12(7), 472-480
CODEN: JPSIEI; ISSN: 1075-2617
PB John Wiley & Sons Ltd.
DT Journal
LA English
OS CASREACT 145:357082
IT 910240-26-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and DNA-binding activity of peptide conjugates with aminoacridine)
RN 910240-26-5 CAPLUS
CN L-Isoleucinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanyl-N-(triphenylmethyl)-L-glutaminylglycyl-L-isoleucyl-N-[2-(9-acridinylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



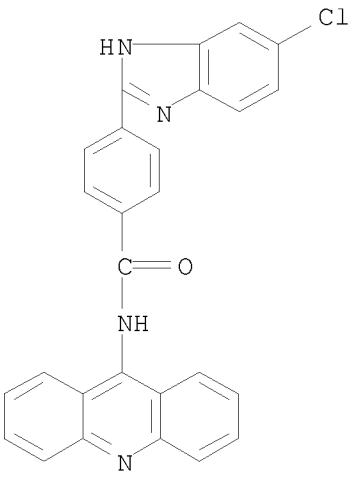
OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of N-(acridin-9-yl)-4-(benzo[d]imidazol/oxazol-2-yl) benzamides has been synthesized by the condensation of 9-aminoacridine derivs. with benzimidazole or benzoxazole derivs. Condensation of 2-hydroxy naphthaldehyde with functionalized diamines leads to the formation of Schiff's bases and not imidazole derivs. All these compds. were characterized by correct FT-IR, 1H NMR, MS and elemental analyses. These compds. were screened for anti-inflammatory, analgesic and kinase (CDK-1, CDK-5 and GSK-3) inhibition activities. Compds. (I) and a mixture (II, III) showed good anti-inflammatory (35.8% at 50 mg/kg po) activity and good analgesic activity (60% at 50 mg/kg po), resp. Compound (IV) showed significant in vitro activity against CDK-5 (IC50 = 4.6 μM) and CDK-1(IC50 = 7.4 μM) and compound (V) showed moderate CDK-5 inhibitory activity (IC50 = 7.5 μM). The other compds. showed moderate anti-inflammatory and analgesic activities.
AN 2006:391508 CAPLUS
DN 145:75997
TI Synthesis, anti-inflammatory, analgesic and kinase (CDK-1, CDK-5 and GSK-3) inhibition activity evaluation of benzimidazole/benzoxazole

derivatives and some Schiff's bases
AU Sondhi, Sham M.; Singh, Nirupma; Kumar, Ashok; Lozach, Olivier; Meijer, Laurent
CS Department of Chemistry, Indian Institute of Technology Roorkee (IIT R), Roorkee, 247 667, UA, India
SO Bioorganic & Medicinal Chemistry (2006), 14(11), 3758-3765
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 145:75997
IT 892866-06-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, anti-inflammatory, analgesic and kinase (CDK-1, CDK-5 and GSK-3) inhibition activity evaluation of benzimidazole/benzoxazole derivs. and some Schiff's bases)
RN 892866-06-7 CAPLUS
CN Benzamide, N-9-acridinyl-4-(5-chloro-1H-benzimidazol-2-yl)- (CA INDEX NAME)

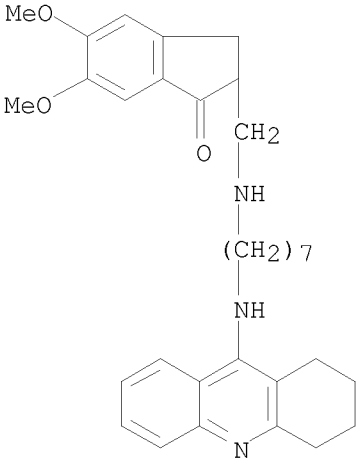


OSC.G 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB In the last decade much attention has been paid to the development of metabolically non-reversible dimeric or hybrid compds., which combine two structural units of one or two lead compds. of interest for the treatment of Alzheimer's disease. As a consequence of their capability to simultaneously interact with two binding sites of the same biol. target (the enzyme acetylcholinesterase in most cases), to expand their interaction in the main binding site of the target mol., or to interact with two different biol. targets of interest in the pathogenesis of the disease, these dimeric or hybrid compds. exhibit an improved pharmacol.

profile including high affinity interactions, addnl. non conventional actions or complementary actions, what makes them potential drug candidates for the treatment of Alzheimer's disease. Herein, we review from a structural point of view the main classes of dimeric or hybrid compds. developed for the treatment of Alzheimer's disease, along with the pharmacol. profile of the most active compds.

AN 2006:153502 CAPLUS
DN 144:225610
TI Dimeric and hybrid anti-Alzheimer drug candidates
AU Munoz-Torrero, D.; Camps, P.
CS Laboratori de Quimica Farmaceutica (Unitat Associada al CSIC), Facultat de Farmacia, Universitat de Barcelona, Barcelona, E-08028, Spain
SO Current Medicinal Chemistry (2006), 13(4), 399-422
CODEN: CMCHE7; ISSN: 0929-8673
PB Bentham Science Publishers Ltd.
DT Journal
LA English
IT 681211-24-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Dimeric and hybrid anti-Alzheimer drug candidates)
RN 681211-24-5 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-[[[7-[(1,2,3,4-tetrahydro-9-acridinyl)amino]heptyl]amino]methyl]- (CA INDEX NAME)

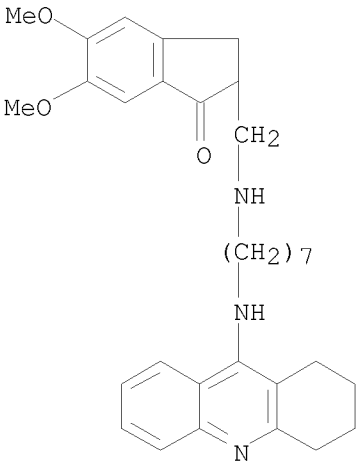


OSC.G 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
RE.CNT 203 THERE ARE 203 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB A new series of donepezil-tacrine hybrid related derivs. have been synthesized as dual acetylcholinesterase inhibitors that could bind simultaneously to the peripheral and catalytic sites of the enzyme. These new hybrids combined a tacrine, 6-chlorotacrine or acridine unit as catalytic binding site and indanone (the heterocycle present in donepezil) or phthalimide moiety as peripheral binding site of the enzyme, connected through a different linker tether length. One of the synthesized compds.

emerged as a potent and selective AChE inhibitor, which is able to displace propidium in a competition assay. These results seem to confirm the ability of this inhibitor to bind simultaneously to both sites of the enzyme and make it a promising lead for developing disease-modifying drugs for the future treatment of Alzheimer's disease. To gain insight into the mol. determinants that modulate the inhibitory activity of these compds., a mol. modeling study was performed to explore their binding to the enzyme.

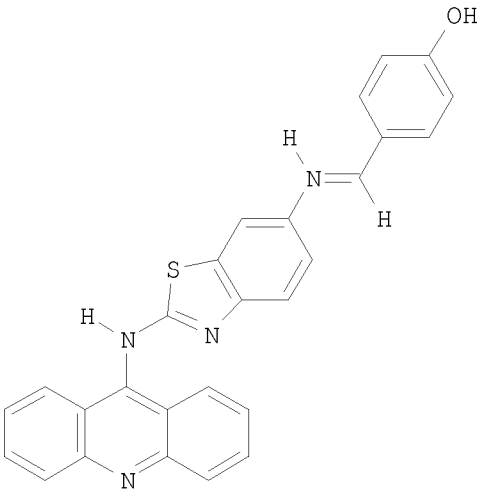
AN 2005:1187077 CAPLUS
DN 144:16451
TI Donepezil-tacrine hybrid related derivatives as new dual binding site inhibitors of AChE
AU Alonso, D.; Dorronsoro, I.; Rubio, L.; Munoz, P.; Garcia-Palomero, E.; Del Monte, M.; Bidon-Chanal, A.; Orozco, M.; Luque, F. J.; Castro, A.; Medina, M.; Martinez, A.
CS Neuropharma S.A., Tres Cantos (Madrid), 28760, Spain
SO Bioorganic & Medicinal Chemistry (2005), 13(24), 6588-6597
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 144:16451
IT 681211-24-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(donepezil-tacrine hybrid related derivs. as new dual binding site inhibitors of AChE)
RN 681211-24-5 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-[[[7-[(1,2,3,4-tetrahydro-9-acridinyl)amino]heptyl]amino]methyl]- (CA INDEX NAME)



OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

GI



I

AB The synthesis of forty five 9-substituted and 4,9-disubstituted acridine derivs. belonging to 6 series, aiming to have compds. likely to possess antimicrobial activity is described. In order to obtain the final compds., certain reported and new starting and intermediate compds. were prepared The first three series comprise 9-acridinylaminobenzothiazole derivs., e.g., I,. The fourth and fifth series comprise 9-acridinylamino-(6-substituted benzothiazole)-4-substituted aryl carboxamide and 9-substituted sulfanoylphenylaminoacridine-4-(6-substituted benzo-thiazolyl)carboxamide derivs. The sixth series includes 9-oxo-9,10-dihydroacridine-4-carboxamide derivs. The rationale behind the synthesis of these compds. and their methods of synthesis are discussed. The microbiol. and antifungal screening were performed on 13 of the synthesized compds. and some of the tested compds. showed moderate antifungal activity.

AN 2004:1041726 CAPLUS

DN 143:306221

TI Synthesis and antimicrobial activity of certain novel acridine derivatives

AU Dessouky, Y. M.; Abbas, S. El-S.; Ali, E. I.; Ibrahim, N. A.

CS Pharmaceutical Chemistry Department, Faculty of Pharmacy, Cairo University, Egypt

SO Bulletin of the Faculty of Pharmacy (Cairo University) (2003), 41(3), 47-58
CODEN: BFPHA8; ISSN: 1110-0931

PB Cairo University, Faculty of Pharmacy

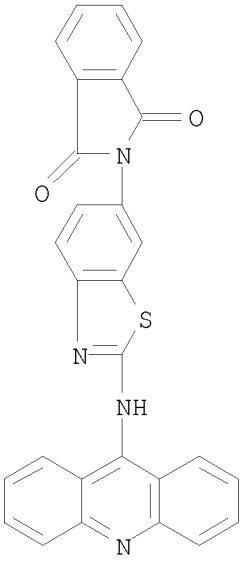
DT Journal

LA English

OS CASREACT 143:306221

IT 864497-98-3P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antimicrobial activity, and SAR of [(phthalimido)benzothiazolylamino]acridine via amination of chloroacridine with nitrobenzothiazole followed by reduction, and amidation

with phthalimide)
RN 864497-98-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-(9-acridinylamino)-6-benzothiazolyl]- (CA INDEX NAME)

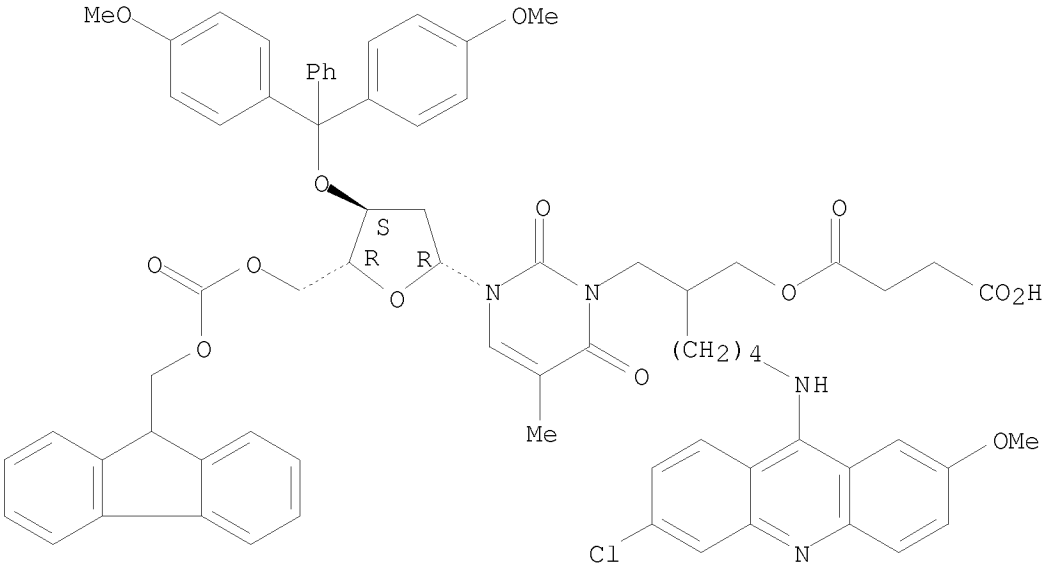


RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB Oligonucleotides with a 3'-3' inversion of polarity, containing an acridine moiety attached to the nucleotide base flanking the 3'-3' phosphodiester bond, have been synthesized, characterized and used to form alternate-strand triple helix complexes. These have been investigated by UV melting studies and CD expts.
AN 2004:484212 CAPLUS
DN 141:207466
TI Synthesis of 3'-3'-linked pyrimidine oligonucleotides containing an acridine moiety for alternate strand triple helix formation
AU Amato, Jussara; Galeone, Aldo; Oliviero, Giorgia; Mayol, Luciano; Piccialli, Gennaro; Varra, Michela
CS Facolta di Scienze Biotecnologiche, Dipartimento di Chimica delle Sostanze Naturali, Universita di Napoli Federico II, Naples, 80131, Italy
SO European Journal of Organic Chemistry (2004), (11), 2331-2336
CODEN: EJOCFK; ISSN: 1434-193X
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 141:207466
IT 733747-28-9DP, polymer supported
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis, UV, and CD spectra of 3'-3'-linked pyrimidine oligonucleotides containing acridine moiety for alternate strand triple

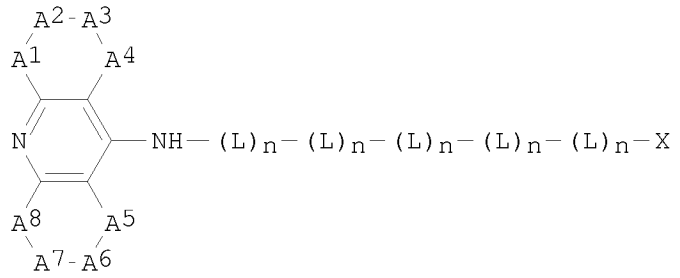
helix formation)
RN 733747-28-9 CAPLUS
CN Thymidine, 3'-O-[bis(4-methoxyphenyl)phenylmethyl]-3-[2-[(3-carboxy-1-oxopropoxy)methyl]-6-[(6-chloro-2-methoxy-9-acridinyl)amino]hexyl]-, 5'-(9H-fluoren-9-ylmethyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

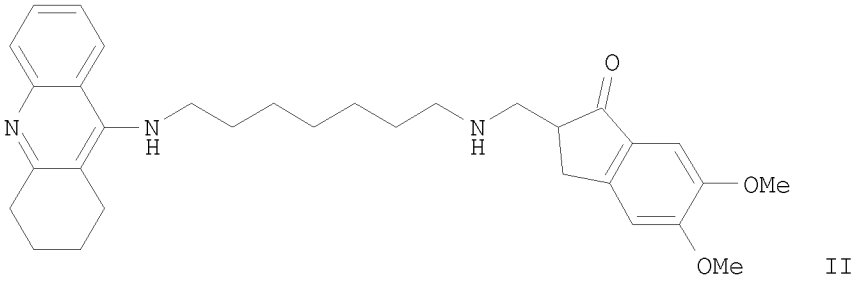


OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I



II

AB Title compds. I [wherein L = independently CO, O, or (un)substituted CH2 or NH; n = 0-10; X (un)substituted indolyl, indazolyl, acridinyl, [1,2,4]thiadiazolidinyl, etc.; A1-A8 = indazolyl CO, CR10R11, =CR10, NR12, =N, O, or SO0-2; R10 and R11 = indazolyl H, alkyl(thio), OH, cycloalkyl, halo(alkyl), (hetero)aryl, alkoxy, acyl, SO0-2, CN, NO2, SH, etc.; R12 = H, (cyclo)alkyl, alkoxy, OH, halo(alkyl), or (un)substituted (hetero)aryl] were prepared as peripheral or dual site acetylcholinesterase (AChE) inhibitors. For example, reaction of 9-(7-aminoheptylamino)-1,2,3,4-tetrahydroacridine with paraformaldehyde and 5,6-dimethoxyindan-1-one in EtOH and H2O gave II (6.8%). The latter inhibited AchE from human erythrocytes with IC50 of 25 nM. Thus, I and their pharmaceutical compns. are useful for the treatment of cognitive disorders, such as senile dementia, cerebrovascular dementia, mild cognition impairment, or attention deficit disorder, and/or neurodegenerative dementing disease with aberrant protein aggregations, such as Alzheimer's disease, Parkinson disease, or ALS, and/or prion diseases, such as Creutzfeldt-Jakob disease or Gerstmann-Straussler-Scheinher disease (no data).

AN 2004:333575 CAPLUS
DN 140:357219

TI Preparation of acridinamines as dual binding site acetylcholinesterase inhibitors for the treatment of Alzheimer's disease

IN Martinez Gil, Ana; Dorronsoro Diaz, Isabel; Rubio Arrieta, Laura; Alonso Gordillo, Diana; Fuertes Huerta, Ana; Morales-Alcelay, Susana; Del Monte Millan, Maria; Garcia Palomero, Esther; Usan Egea, Paola; De Austria, Celia; Medina Padilla, Miguel
PA Neuropharma, S.A., Spain; Ruffles, Graham Keith

SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

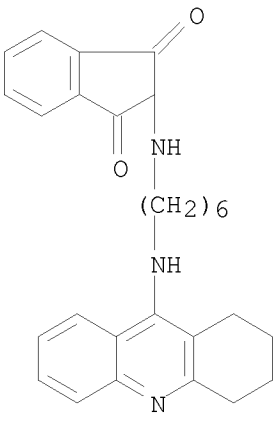
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 2004032929	A2	20040422	WO 2003-GB4314		20031007
	WO 2004032929	A3	20040701			
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
				GB 2002-23494	A	20021009
	CA 2501491	A1	20040422	CA 2003-2501491		20031007
				GB 2002-23494	A	20021009
				WO 2003-GB4314	W	20031007
	AU 2003269240	A1	20040504	AU 2003-269240		20031007
				GB 2002-23494	A	20021009
				WO 2003-GB4314	W	20031007
	EP 1558255	A2	20050803	EP 2003-751019		20031007
	EP 1558255	B1	20061227			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
				GB 2002-23494	A	20021009
				WO 2003-GB4314	W	20031007
	CN 1703220	A	20051130	CN 2003-80101252		20031007
	CN 100436421	C	20081126			
				GB 2002-23494	A	20021009
	JP 2006514922	T	20060518	JP 2004-542615		20031007
				GB 2002-23494	A	20021009
				WO 2003-GB4314	W	20031007
	AT 349211	T	20070115	AT 2003-751019		20031007
				GB 2002-23494	A	20021009
	ES 2279143	T3	20070816	ES 2003-751019		20031007
				GB 2002-23494	A	20021009
	RU 2325379	C2	20080527	RU 2005-114353		20031007
				GB 2002-23494	A	20021009
				WO 2003-GB4314	W	20031007
	MX 2005003734	A	20050930	MX 2005-3734		20050407
				GB 2002-23494	A	20021009
				WO 2003-GB4314	W	20031007
	US 20060142323	A1	20060629	US 2005-530667		20051219
				GB 2002-23494	A	20021009
				WO 2003-GB4314	W	20031007

OS MARPAT 140:357219

IT 681211-26-7P, 2-[[6-(1,2,3,4-Tetrahydroacridin-9-ylamino)hexyl]amino]indan-1,3-dione
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(AChE inhibitor; preparation of acridinamines as dual binding site AChE inhibitors for treatment of Alzheimer's disease and other neurodegenerative diseases)

RN 681211-26-7 CAPLUS

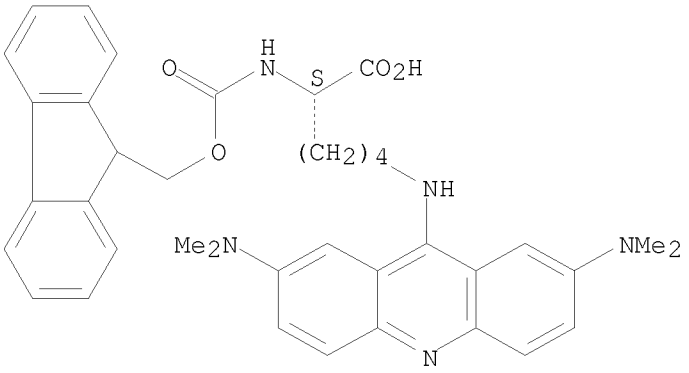
CN 1H-Indene-1,3(2H)-dione, 2-[[6-[(1,2,3,4-tetrahydro-9-acridinyl)amino]hexyl]amino]- (CA INDEX NAME)



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB A symposium report. Bis-acridine orange peptide [1; in which the 9-position of acridine orange (AO) is linked to the ε-amino moiety of lysine] was assembled from Fmoc-Lys(Boc)-OH and Fmoc-Lys(AO)-OH on a peptide synthesizer. CD measurements suggested that 1 binds to double stranded DNA (dsDNA) by a groove binding mode. A dramatic fluorescence enhancement was observed upon binding of 1 to dsDNA, which seemed to derive from a conformational change of the intramol. stacked structure of 1 in the groove of dsDNA to generate the fluorescence.
AN 2004:314255 CAPLUS
DN 142:94106
TI Binding mode of a bis-acridine orange peptide with double stranded DNA
AU Sakakibara, Yutaka; Ueyama, Hiroyuki; Fujii, Satoshi; Nojima, Takahiko; Takenaka, Shigeori
CS Department of Applied Chemistry, Faculty of Engineering, Kyushu University, Fukuoka, 812-8581, Japan
SO Peptide Science (2003), Volume Date 2004, 40th, 451-452
CODEN: PSCIFQ; ISSN: 1344-7661
PB Japanese Peptide Society
DT Journal
LA English
IT 677352-41-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and binding mode of bis-acridine orange peptide with double stranded DNA)
RN 677352-41-9 CAPLUS
CN L-Lysine, N6-[2,7-bis(dimethylamino)-9-acridinyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

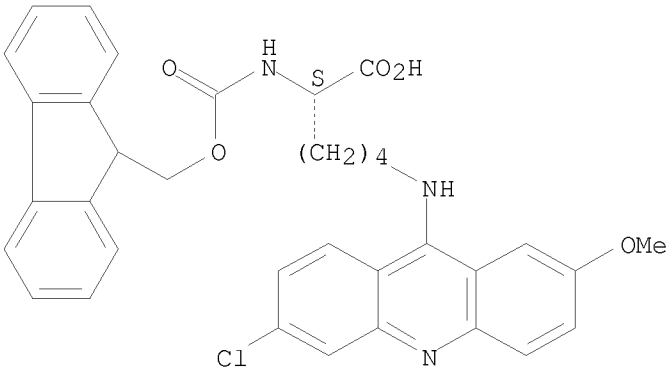
L4 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB A novel bis-intercalator especially useful for electrochem. detecting a double stranded DNA, having the general structure D-B-A-C-E (A = homodipeptide; B, C, = mol. capable of binding NH2 or CO2H group; D, E = mol. capable of binding dsDNA and having electrochem. activity, such as acridine, phenanthridine, and 9,10-anthracenedione derivs.) is provided. The bis-intercalator is composed of intercalator moiety, oxidation/reduction activity
moiety, and linker moiety. A method for synthetic preparation of those bis-intercalators via Fmoc method, involving protection with Fmoc protective group, deprotection, and solid phase synthesis on resin, is claimed. A method and a kit are provided for detecting a nucleic acid fragment using this bis-intercalator. Synthesis of 6-chloro-2-methoxy-9-phenoxy acridine and subsequent synthesis of bis-acridinyl peptide Ac-Lys(Acr)-Lys-Lys-Lys(Acr)-NH2 from it are described. Specificity of bis-acridinyl peptide for dsDNA and reduction in binding affinity to mismatch containing sequences were demonstrated, indicating usefulness of bis-acridinyl peptide for detection of mismatches.
AN 2004:71226 CAPLUS
DN 140:142210
TI Bis-intercalators, synthetic preparation, and use in detecting nucleic acid
IN Takenaka, Shigeo; Kamiyama, Hiroyuki; Takagi, Makoto
PA TUM-Gene, Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2004024114	A	20040129	JP 2002-185555	20020626
			JP 2002-185555	20020626

OS MARPAT 140:142210
IT 566189-92-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

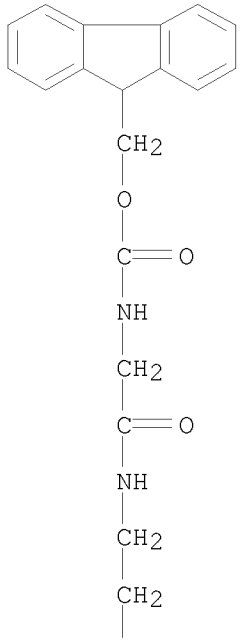
(bis-intercalators, synthetic preparation, and use in detecting nucleic acid)
RN 566189-92-2 CAPLUS
CN L-Lysine, N6-(6-chloro-2-methoxy-9-acridinyl)-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

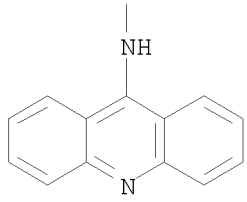


L4 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB Amino-acridine conjugates play an important role as biochem. probes and/or anti-prion agents. Solid-phase synthesis of such compds. suitable for library construction and biol. screening is described.
AN 2004:51745 CAPLUS
DN 140:271186
TI Solid-phase synthesis of head and tail bis-acridinylated peptides
AU Sebestik, Jaroslav; Matejka, Pavel; Hlavacek, Jan; Stibor, Ivan
CS Institute of Chemical Technology, Prague, 166 28 6, Czech Rep.
SO Tetrahedron Letters (2004), 45(6), 1203-1205
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:271186
IT 673502-07-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solid-phase synthesis of head and tail bis-acridinylated peptides suitable for library construction of anti-prion agents)
RN 673502-07-3 CAPLUS
CN Carbamic acid, [2-[[2-(9-acridinylamino)ethyl]amino]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB A fluorescent reagent containing a fluorescent dye group and an intercalate group is provided, with which double-stranded nucleic acid is selectively detected. When this fluorescent reagent comes into contact with a double-stranded DNA or a double-stranded RNA, the intercalate group in the reagent mol. intercalates between the base pairs in the double-stranded nucleic acid. As a result, the quenching caused by stacking between the fluorescent dye group and the intercalate group in the reagent mol. is broken off, and thereby, the fluorescent intensity is elevated. Thus, the double-stranded DNA or the double-stranded RNA can be selectively detected.
AN 2003:757966 CAPLUS
DN 139:273227

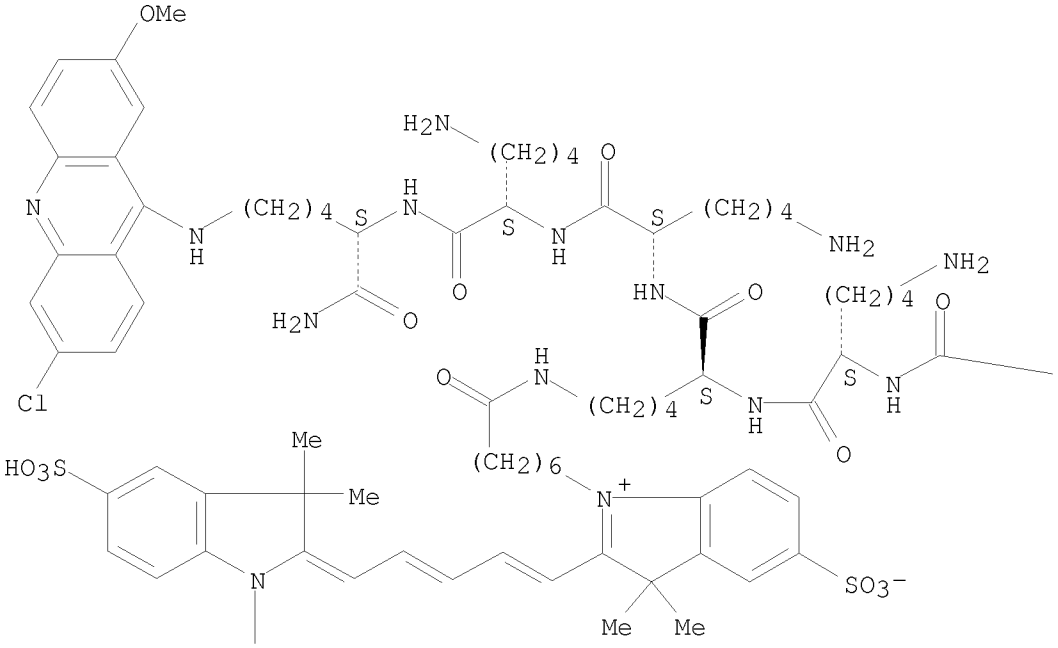
TI Fluorescent reagent containing fluorescent dye group and intercalate group for selectively detecting double-stranded nucleic acid
IN Takenaka, Shigeori; Ueyama, Hiroyuki; Takagi, Makoto
PA Kyushu Tlo Company, Limited, Japan
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI WO 2003079022	A1	20030925	WO 2003-JP3258		20030318
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
			JP 2002-74068	A	20020318
JP 2005289810	A	20051020	JP 2002-74068		20020318
AU 2003220889	A1	20030929	AU 2003-220889		20030318
			JP 2002-74068	A	20020318
			WO 2003-JP3258	W	20030318

OS MARPAT 139:273227
IT 604784-77-2P
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(fluorescent reagent containing fluorescent dye group and intercalate group for selectively detecting double-stranded nucleic acid)
RN 604784-77-2 CAPLUS
CN L-Lysinamide, N2-acetyl-N6-(6-chloro-2-methoxy-9-acridinyl)-L-lysyl-L-lysyl-L-lysyl-N6-[7-[2-[5-(1-ethyl-1,3-dihydro-3,3-dimethyl-5-sulfo-2H-indol-2-ylidene)-1,3-pentadienyl]-3,3-dimethyl-5-sulfo-3H-indolio]-1-oxoheptyl]-L-lysyl-L-lysyl-L-lysyl-N6-(6-chloro-2-methoxy-9-acridinyl)-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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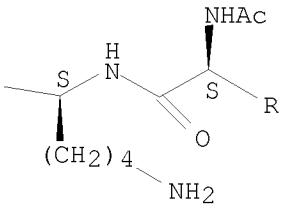

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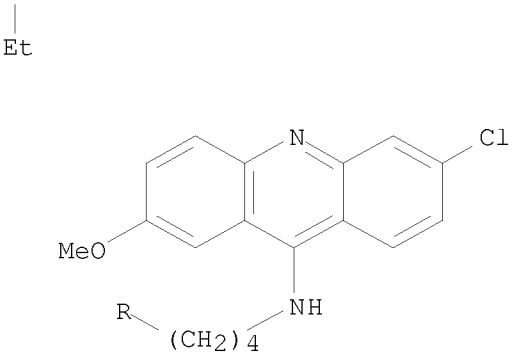


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN

AB When a fluorescent reagent of a peptide comprising α-amino acid residues involving at least two α-amino acid residues substituted by fluorescent intercalators groups comes into contact with a double-stranded DNA, the fluorescent intercalators groups in the fluorescent reagent mol. are intercalated among base pairs of the double-stranded DNA. As a result, quenching due to the stacking of the fluorescent intercalators groups each other in the fluorescent reagent mol. is broken off and the fluorescence intensity is elevated. Thus, the double-stranded DNA can be highly sensitively and selectively detected. The fluorescent reagent can be represented by formula (I, NH2CH(Y)(X)CO[(NHCH(Z)CO)2NHCH(Y)(X)CO]nOH where X = replaceable function group containing acridine skeleton, ethidium skeleton, thiazole orange skeleton and oxazole yellow skeleton; Y = -A-W- (A = straight or branch alkylene (number of carbon, 106), W = NH, CO, CONH, NHCO, O, S and HNC(NH)NH) and Z = -A-W-H)).

AN 2003:757954 CAPLUS
DN 139:277167
TI Fluorescent reagent containing fluorescent intercalators groups for detecting double-stranded nucleic acid
IN Takenaka, Shigeori; Ueyama, Hiroyuki; Takagi, Makoto
PA Kyushu Tlo Company, Limited, Japan
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003079010	A1	20030925	WO 2003-JP3259	20030318

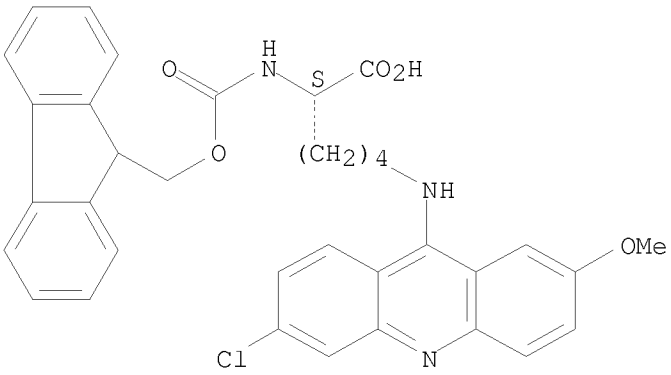
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			JP 2002-74069	A 20020318
JP 2005291703	A	20051020	JP 2002-74069	20020318
AU 2003220892	A1	20030929	AU 2003-220892	20030318
			JP 2002-74069	A 20020318
			WO 2003-JP3259	W 20030318

OS MARPAT 139:277167
IT 566189-92-2P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of; fluorescent reagent containing fluorescent intercalators groups for detecting double-stranded nucleic acid)
RN 566189-92-2 CAPLUS
CN L-Lysine, N6-(6-chloro-2-methoxy-9-acridinyl)-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

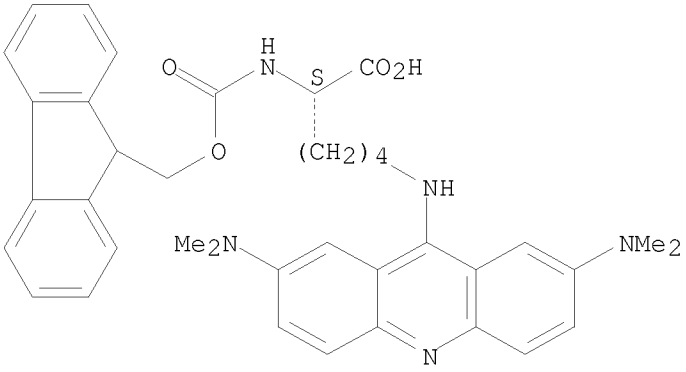


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2009 ACS on STN
AB Novel bis-acridine orange (1) was synthesized from Fmoc-Lys(Boc)-OH and Fmoc-Lys(AO)-OH (AO: acridine orange), with the 9-position of acridine orange (AO) linked to the ε-amino moiety of lysine, on the peptide synthesizer. Bis-acridine orange (1) yielded a very weak fluorescence in an aqueous media due to the intramol. stacking, but its fluorescence was enhanced over 200-times upon binding to double-stranded DNA, irresp. of the DNA sequences. CD spectra showed that 1 binds to double stranded DNA in its stacked conformation, concomitant with fluorescence enhancement.
AN 2003:677675 CAPLUS
DN 140:317528
TI Fluoreometric behavior of a novel bis-acridine orange bound to double stranded DNA
AU Takenaka, Shigeori; Sakakibara, Yutaka; Ueyama, Hiroyuki; Nojima, Takahiko
CS Department of Applied Chemistry, Faculty of Engineering, Kyushu University, Fukuoka, 812-8581, Japan
SO Nucleic Acids Research Supplement (2003), 3(3rd International Symposium on Nucleic Acids Chemistry [and] 30th Symposium on Nucleic Acids Chemistry in Japan, 2003), 151-152

CODEN: NARSCE
PB Oxford University Press
DT Journal
LA English
IT 677352-41-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(fluorometric behavior of bis-acridine orange in stacked conformation binding to double-stranded DNA)
RN 677352-41-9 CAPLUS
CN L-Lysine, N6-[2,7-bis(dimethylamino)-9-acridinyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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